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L1 STRUCTURE UPLOADED

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=> file ca

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L4 26 L3

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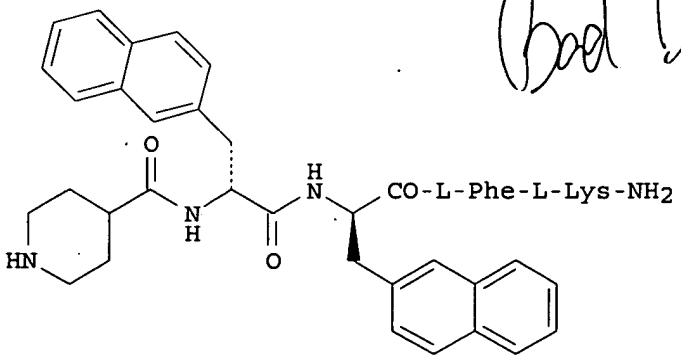
L4 ANSWER 1 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 137:140783 CA
TITLE: Preparation of low molecular weight peptide mimics as growth hormone release stimulators
INVENTOR(S): Somers, Todd C.; Elias, Kathleen A.; Clark, Ross G.; McDowell, Robert S.; Stanley, Mark S.; Burnier, John P.; Rawson, Thomas E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 161 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002111461	A1	20020815	US 1999-316505	19990521

PRIORITY APPLN. INFO.: US 1999-316505 19990521
OTHER SOURCE(S): MARPAT 137:140783
GI



I

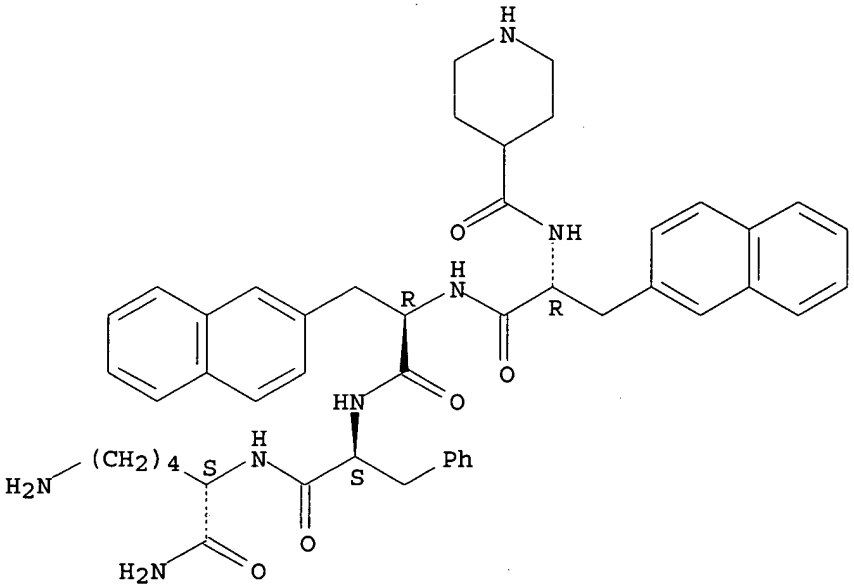
AB The present invention comprises growth hormone releasing peptides/peptidomimetics (GHRP) capable of causing release of growth hormone from the pituitary. Compns. contg. the GHRPs of this invention are used to promote growth in mammals either alone or in combination with other growth promoting compds., esp. insulin-like growth factor-1 (IGF-1). In a method of this invention, GHRPs in combination with IGF-1 are used to treat type II diabetes. Thus, I.CF3CO2H was prepd. by std. solid-phase methods on an aminomethyl resin using 9-fluorenylmethoxycarbonyl (Fmoc) N.alpha. protection. I induced significant body wt. and organ wt. gain in rats.

IT **171369-45-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)

RN 171369-45-2 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2P 171369-47-4P 171369-48-5P**
171369-49-6P 171675-04-0P 179382-49-1P
179382-51-5P 179383-08-5P 179383-43-8P
179383-47-2P 179383-49-4P 179383-51-8P
179383-53-0P 179383-55-2P 179383-59-6P
179383-62-1P 179383-64-3P 179383-66-5P
179383-68-7P 179383-70-1P 179383-73-4P
179383-77-8P 179383-79-0P 179383-81-4P
179383-83-6P 179383-85-8P 179383-90-5P
179383-92-7P 179383-94-9P 179383-98-3P
179384-02-2P 179384-03-3P 179384-04-4P
179384-08-8P 179384-56-6P 179384-57-7P
179384-60-2P 179384-62-4P 179384-67-9P
179384-96-4P 179384-98-6P 179385-16-1P

179385-40-1P 179385-61-6P 179385-72-9P
179385-76-3P 179385-91-2P 179385-93-4P
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179385-97-8P 179385-98-9P 179386-00-6P
179386-02-8P 179386-04-0P 179386-74-4P
179386-75-5P 179603-43-1P 179796-98-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)

IT **179385-33-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)

L4 ANSWER 2 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 136:63596 CA
TITLE: Rational design, discovery, and synthesis of a novel series of potent growth hormone secretagogues
AUTHOR(S): Huang, Ping; Loew, Gilda H.; Funamizu, Hidenori; Mimura, Mitsuo; Ishiyama, Nobuo; Hayashida, Mitsuo; Okuno, Tadashi; Shimada, Osafumi; Okuyama, Akihiko; Ikegami, Satoru; Nakano, Jun; Inoguchi, Kiyoshi
CORPORATE SOURCE: Molecular Research Institute, Mountain View, CA, 94043, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(24), 4082-4091
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

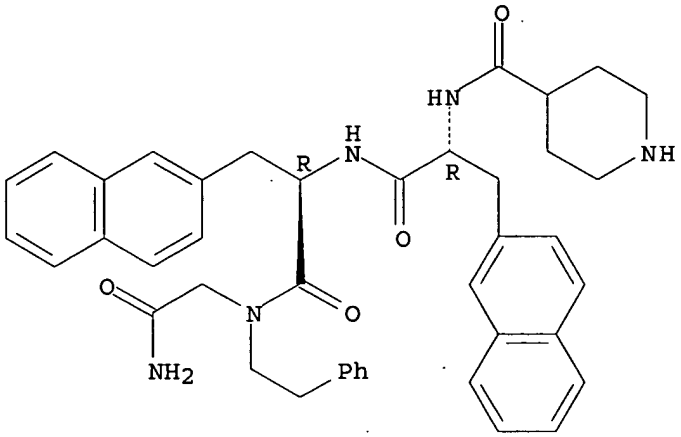
AB In the joint exptl. and computational efforts reported here to obtain novel chem. entities as growth hormone secretagogues (GHSs), a small database of peptides and non-peptides known to have GHS activity was used to generate and assess a 3D pharmacophore for this activity. This pharmacophore was obtained using a systematic and efficient procedure, "DistComp", developed in the authors' lab. The 3D pharmacophore identified was then used to search 3D databases to explore chem. structures that could be novel GHSs. A no. of these were chosen for synthesis and assessment of their ability to release growth hormone (GH) from rat pituitary cells. Among the compds. tested, those with a benzothiazepin scaffold were discovered with micromolar activity. To facilitate lead optimization, a second program, a site-dependent fragment QSAR procedure was developed. This program calcs. a library of chem. and phys. properties of "fragments" or chem. components in a known pharmacophore and dets. which, if any, of these properties are important for the obsd. activity. The combined use of the 3D pharmacophore and the results of the site-dependent fragment QSAR anal. led to the discovery and synthesis of a novel series of potent GHSs, a no. of which had nanomolar in vitro activity.

IT **171675-04-0**, G 7134
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(rational design, discovery and synthesis of novel series of potent growth hormone secretagogues in relation to release of growth hormone from rat pituitary cells)

RN 171675-04-0 CA
CN Glycinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-

naphthalenyl)-D-alanyl-N2-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171675-04-0**, G 7134
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(rational design, discovery and synthesis of novel series of potent growth hormone secretagogues in relation to release of growth hormone from rat pituitary cells)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 136:50017 CA
TITLE: Location and dynamics of basic peptides at the membrane interface: electron paramagnetic resonance spectroscopy of tetramethyl-piperidine-N-oxyl-4-amino-4-carboxylic acid-labeled peptides
AUTHOR(S): Victor, Ken G.; Cafiso, David S.
CORPORATE SOURCE: Department of Chemistry and Biophysics Program, University of Virginia, Charlottesville, VA, 22904, USA
SOURCE: Biophysical Journal (2001), 81(4), 2241-2250
CODEN: BIOJAU; ISSN: 0006-3495
PUBLISHER: Biophysical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The attractive interaction between basic protein domains and membranes contg. acidic lipids is crit. to the membrane attachment of many proteins involved in cell signaling. In this study, a series of charged model peptides contg. lysine, phenylalanine, and the spin-labeled amino acid tetramethyl-piperidine-N-oxyl-4-amino-4-carboxylic acid (TOAC) were synthesized, and ESR (EPR) spectroscopy was used to det. their position on the membrane interface and free energy of binding. When membrane-bound, peptides contg. only lysine and TOAC assume an equil. position within the aq. double layer at a distance of .apprx.5 .ANG. from the membrane interface, a result that is consistent with recent computational work. Substitution of two or more lysine residues by phenylalanine dramatically slows the backbone diffusion of these peptides and shifts their equil. position by 13-15 .ANG. so that the backbone lies several angstroms below the level of the lipid phosphate. These results are consistent with the

hypothesis that the position and free energy of basic peptides when bound to membranes are detd. by a long-range Coulombic attraction, the hydrophobic effect, and a short-range desolvation force. The differences in binding free energy within this set of charged peptides is not well accounted for by the simple addn. of free energies based upon accepted side chain partition free energies, a result that appears to be in part due to differences in membrane localization of these peptides.

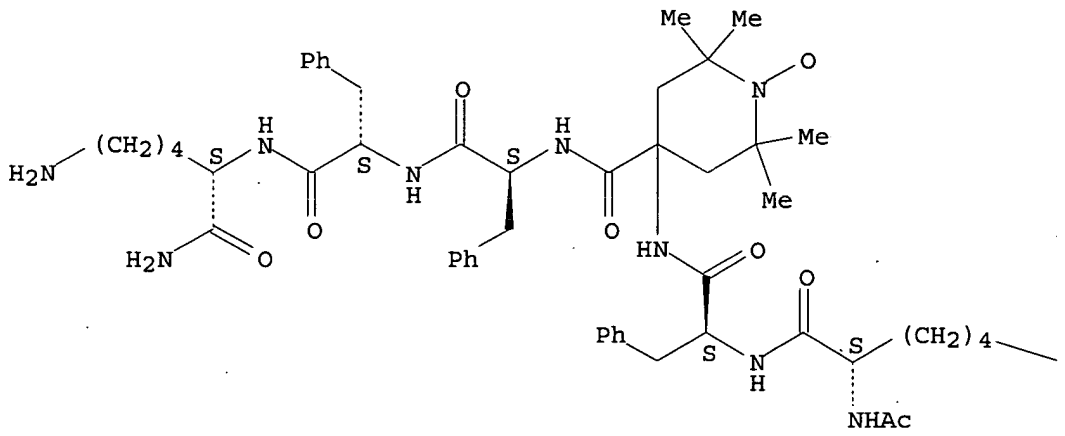
IT **382594-98-1**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(location and dynamics of basic peptides at the membrane interface)

RN 382594-98-1 CA

CN L-Lysinamide, N2-acetyl-L-lysyl-L-phenylalanyl-4-amino-2,2,6,6-tetramethyl-1-oxy-4-piperidinecarbonyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

IT **382594-98-1 382594-99-2**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(location and dynamics of basic peptides at the membrane interface)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 134:37565 CA
TITLE: Compositions for the treatment of the catabolic state of prolonged critical illness contg. TRH and another amino acid based compound
INVENTOR(S): Ankersen, Michael
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074702	A1	20001214	WO 2000-DK295	20000531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DK 9901082	A	19990802	DK 1999-1082	19990802
EP 1200111	A1	20020502	EP 2000-931042	20000531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003501394	T2	20030114	JP 2001-501236	20000531
US 2002160961	A1	20021031	US 2001-4648	20011204

PRIORITY APPLN. INFO.:
DK 1999-788 A 19990604
DK 1999-1082 A 19990802
WO 2000-DK295 W 20000531

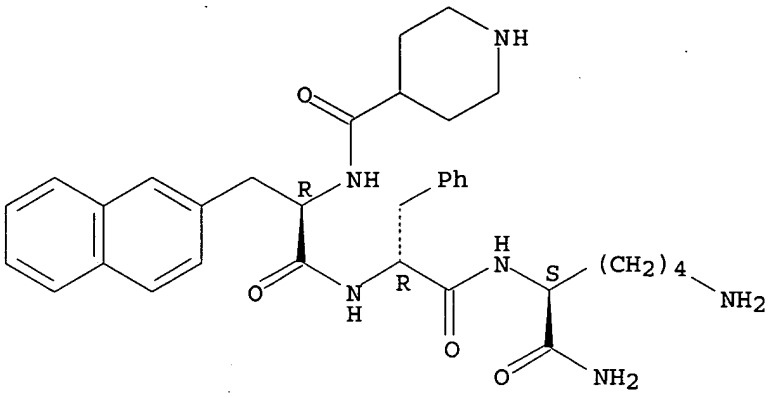
OTHER SOURCE(S): MARPAT 134:37565

AB Compns. comprising TRH and a compd. of the general formula A-B-C-D(-E)p are used for treating the catabolic state of prolonged crit. illness. Examples of compds. of the general formula are ipamorelin, H-His-D-2Nal-D-Phe-Lys-NH2, and (2-(4-imidazolyl)acetyl)-D-2Nal-D-Phe-Lys-NH2. Specifically claimed are 120 compds. that fit the general formula. Kits contg. the compds. of the invention are also claimed.

IT **170851-76-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for treatment of catabolic state of prolonged crit. illness contg. TRH and another amino acid based compd.)

RN 170851-76-0 CA
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **170851-76-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for treatment of catabolic state of prolonged crit. illness contg. TRH and another amino acid based compd.)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 132:330369 CA
TITLE: Treatment of tumors by administration of growth hormone releasing compounds and their antagonists
INVENTOR(S): Muccioli, Giampiero; Papotti, Mauro; Ghigo, Ezio; Deghenghi, Romano
PATENT ASSIGNEE(S): Asta Medica Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000029011	A1	20000525	WO 1999-EP8662	19991111
W: AU, BG, BR, BY, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6124263	A	20000926	US 1998-192406	19981116
BR 9915390	A	20010807	BR 1999-15390	19991111
EP 1131083	A1	20010912	EP 1999-955974	19991111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002529512	T2	20020910	JP 2000-582057	19991111
NZ 511280	A	20021025	NZ 1999-511280	19991111
NO 2001002367	A	20010709	NO 2001-2367	20010514

PRIORITY APPLN. INFO.: US 1998-192406 A 19981116
WO 1999-EP8662 W 19991111
OTHER SOURCE(S): MARPAT 132:330369
AB A method for treating a tumor in a mammal by administering a growth

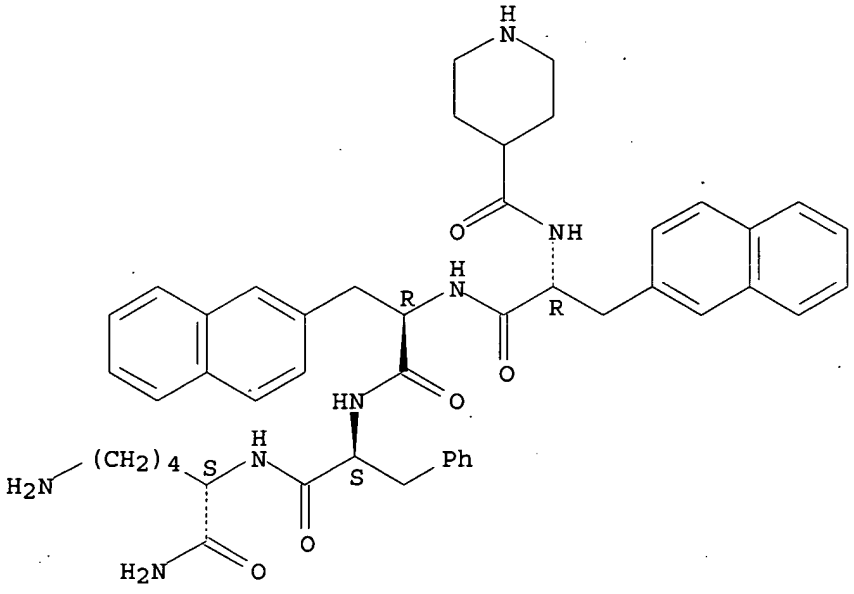
hormone releasing compd. or an antagonist thereof in an amt. effective to reduce or inhibit proliferation of tumorigenic cells in the mammal. In particular, the tumors to be treated include lung, mammary, thyroid or pancreas tumors. The preferred compds. are certain peptides that contain Me tryptophan and lysine units.

IT **171369-45-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of tumors by administration of growth hormone releasing compds. and antagonists)

RN 171369-45-2 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of tumors by administration of growth hormone releasing compds. and antagonists)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 132:180869 CA
TITLE: Preparation of peptides having growth hormone releasing activity
INVENTOR(S): Bowers, Cyril Y.; Momany, Frank; Liang, Yongwu
PATENT ASSIGNEE(S): Administrators of the Tulane Educational Fund, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009537	A2	20000224	WO 1999-US17867	19990806
WO 2000009537	A3	20010920		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956710	A1	20000306	AU 1999-56710	19990806
EP 1159292	A2	20011205	EP 1999-943658	19990806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525265	T2	20020813	JP 2000-564987	19990806
US 6468974	B1	20021022	US 1999-370111	19990806
US 2002151501	A1	20021017	US 2002-112316	20020329

PRIORITY APPLN. INFO.:	US 1998-96795P	P	19980814
	US 1999-129806P	P	19990416
	US 1999-370111	A3	19990806
	WO 1999-US17867	W	19990806

OTHER SOURCE(S): MARPAT 132:180869

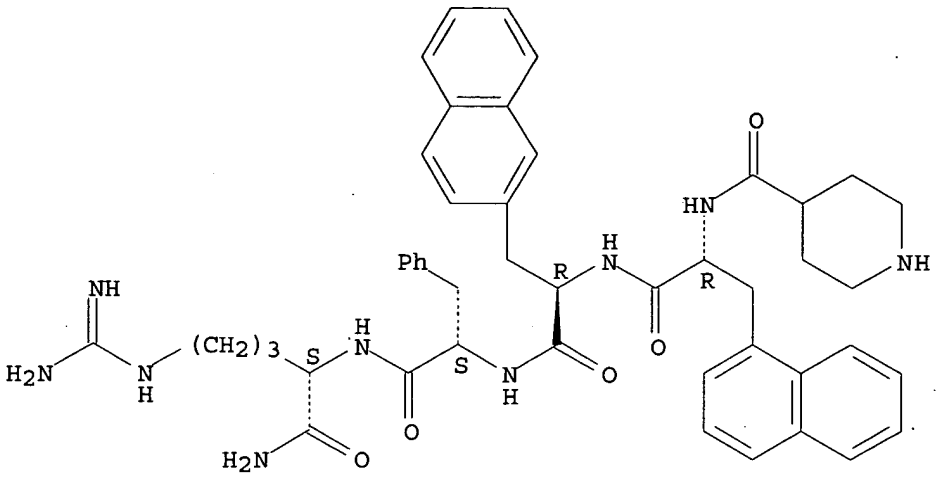
AB Title peptides A1''-Y, where A1'' is Aib (.alpha.-aminoisobutyric acid), inip (isonipecotyl), Abu (aminobutyric acid), .beta.Ala, His, Sar or their resp. D-isomers and Y is a peptide residue (defined) having a C-terminal acid or amide group, were prepd. as promoters of growth hormone releasing activity. The compds. can be used with a second compd. that acts as an agonist at the growth hormone releasing hormone receptor or which inhibits the effects of somatostatin. Thus, H-Aib-D-Trp-D-Pro-N(Bu-i)2 was prepd. and assayed for in vitro release of growth hormone in rats.

IT **259230-87-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides having growth hormone releasing activity)

RN 259230-87-0 CA

CN L-Argininamide, 3-(1-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **259230-87-0P 259231-07-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides having growth hormone releasing activity)

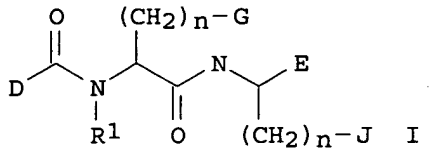
L4 ANSWER 7 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:337355 CA
TITLE: Preparation of peptide mimetics with growth hormone releasing properties
INVENTOR(S): Hansen, Thomas Kruse; Peschke, Bernd; Lau, Jesper; Lundt, Behrend Friedrich; Ankersen, Michael; Watson, Brett; Madsen, Kjeld
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: U.S., 259 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5977178	A	19991102	US 1996-769020	19961218
US 6127391	A	20001003	US 1998-218686	19981221
US 2003040483	A1	20030227	US 2000-534135	20000323
US 6555570	B2	20030429		
US 2003055261	A1	20030320	US 2002-67895	20020205

PRIORITY APPLN. INFO.:

US 1996-22062P	P	19960726
DK 1995-1462	A	19951222
DK 1996-698	A	19960625
DK 1996-812	A	19960724
DK 1996-1248	A	19961106
US 1996-769020	A3	19961218
US 1998-218686	A3	19981221
US 1998-218626	A3	19981222
US 2000-534135	A1	20000323

OTHER SOURCE(S): MARPAT 131:337355
GI



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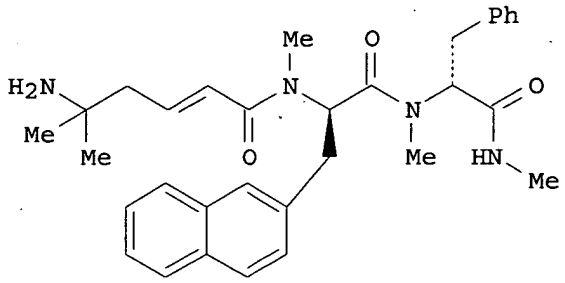


II

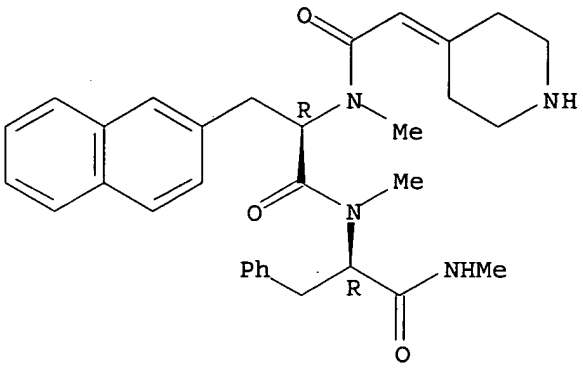
AB Compds. of peptide mimetic nature having the general formula [I; R1 = H, C1-6 alkyl optionally substituted with aryl; R2 = C1-6 alkyl optionally substituted with aryl; m, n = 1 or 2; G, J = (un)substituted Ph or naphthyl; D = R7NH(CR7R8)p(CH2)aM(CHR10)o(CH2)b; R7, R8, R9, R10 = H, C1-6 alkyl optionally substituted with halogen, amino, hydroxyl or aryl; R7 and R8 or R7 and R9 or R8 and R9 optionally forming (CH2)i-U-(CH2)j; wherein i, j = 1 or 2 and U is O, S, or a valence bond; a, b = 0, 1, 2, or 3; o, p = 0 or 1; M = CR11:CR11a, arylene, O, or S; R11, R11a = H, or C1-6 alkyl optionally substituted with aryl; when E = CONR12R13, (CH2)vNR12SO2R14, (CH2)vNR12COR13, (CH2)vOR13a, (CH2)vO2CR13, CH(R12)R13, (CH2)vNR12CSNR13R14, (CH2)vNR12CONR13R14; wherein R12, R13 = H, (un)substituted C1-6 alkyl, etc.; R13a = C1-6 alkyl substituted with aryl; R14 = C1-6 alkyl; v = 0, 1, 2, 3] or a pharmaceutically acceptable salt thereof, which are growth hormone secretagogues with improved bioavailability, are prepd. They are useful for stimulating the release of growth hormone from the pituitary and increasing the rate and extent of growth, the milk and wool prodn., or for the treatment of ailments resulting from a deficiency in growth hormone (no data). Thus, (2E)-5-(tert-Butyloxycarbonylamino)-5-methylhex-2-enoic acid was stirred with 1-hydroxy-7-azabenzotriazole and 1-ethyl-3-(3-dimethylaminopropyl)-carbodiimide hydrochloride in DMF/CH2Cl2 for 15 min and then condensed with N-Methyl-2-methylamino-N-((1R)-1-(methylcarbamoyl)-2-phenylethyl)-3-(2-naphthyl)propionamide in the presence of diisopropylethylamine overnight, followed by treatment with CF3CO2H and methylene chloride and silica gel chromatog. using a mixt. of methylene chloride, ethanol and ammonia (25% in water) (80/18/2) as eluent to give the dipeptide deriv. (II).

IT **193079-12-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide mimetics for stimulating growth hormone releasing and increasing rate and extent of growth, milk and wool prodn., or for treatment of ailments resulting from deficiency in growth hormone)

RN 193079-12-8 CA

CN D-Phenylalaninamide, N-methyl-3-(2-naphthalenyl)-N-(4-piperidinylideneacetyl)-D-alanyl-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **193079-12-8P 193079-33-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide mimetics for stimulating growth hormone releasing and increasing rate and extent of growth, milk and wool prodn., or for treatment of ailments resulting from deficiency in growth hormone)

IT **193085-25-5P 193085-99-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptide mimetics for stimulating growth hormone releasing and increasing rate and extent of growth, milk and wool prodn., or for treatment of ailments resulting from deficiency in growth hormone)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:332203 CA
TITLE: Synthesis and in vitro characterization of new growth hormone secretagogues derived from ipamorelin with dipeptidomimetic N-terminals
AUTHOR(S): Peschke, Bernd; Ankersen, Michael; Hansen, Birgit Sehested; Hansen, Thomas Kruse; Johansen, Nils Langeland; Lau, Jesper; Madsen, Kjeld; Petersen, Hans; Thogersen, Henning; Watson, Brett
CORPORATE SOURCE: Health Care Chemistry, Novo Nordisk A/S, Malov, 2760, Den.
SOURCE: European Journal of Medicinal Chemistry (1999), 34(5), 363-380
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The structural requirements for N-terminal features for the minimal structure of growth hormone secretagogues derived from ipamorelin are investigated. It is found, that incorporation of nonpolar peptidomimetic amino acids at the N-terminal can replace the Aib-His moiety and lead to compds. with high in vitro potency with respect to their growth hormone secretagogue properties. New unnatural amino acids with double bonds, ether-linkages, and 1,3-phenylene-moieties in the backbone proved to be valuable dipeptidomimetics. Using them, growth hormone secretagogues with high potencies were obtained.

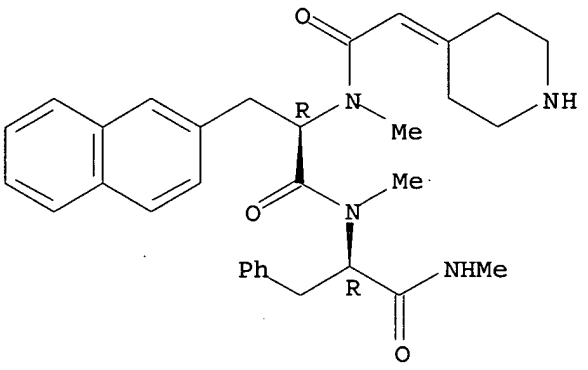
IT **193079-12-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and in vitro study of N-terminal dipeptidomimetic derivs. of ipamorelin as new growth hormone secretagogues)

RN 193079-12-8 CA

CN D-Phenylalaninamide, N-methyl-3-(2-naphthalenyl)-N-(4-piperidinylideneacetyl)-D-alanyl-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **193079-12-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and in vitro study of N-terminal dipeptidomimetic derivs. of ipamorelin as new growth hormone secretagogues)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:149284 CA
TITLE: Lysine-containing peptides for treatment of heart disease
INVENTOR(S): Deghenghi, Romano
PATENT ASSIGNEE(S): Switz.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5932548	A	19990803	US 1998-89955	19980603
CA 2330567	AA	19991209	CA 1999-2330567	19990528
WO 9962539	A1	19991209	WO 1999-EP3731	19990528

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9943717	A1	19991220	AU 1999-43717	19990528
EP 1082128	A1	20010314	EP 1999-926472	19990528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002516872	T2	20020611	JP 2000-551795	19990528
PRIORITY APPLN. INFO.:			US 1998-89955 A	19980603
			WO 1999-EP3731 W	19990528

OTHER SOURCE(S): MARPAT 131:149284

AB The present invention relates a no. of different lysine-contg. peptides which can be administered to a mammal to normalize cardiac pressure for treatment of heart disease conditions such as myocardial ischemia. These peptides include certain known peptides, some of which are capable of liberating growth hormone to various degrees when administered to a mammal. Other peptides useful in the invention are novel peptide sequences which include a spirolactam, bicyclic or tricyclic peptidomimetic unit. The peptides disclosed herein exhibit binding to cardiac tissue and normalize cardiac pressure after administration, thus imparting cardiac protecting activity by a mechanism which at the present is unknown. One common feature of the peptides of this invention is that at least one lysine unit is present.

IT **171369-45-2**

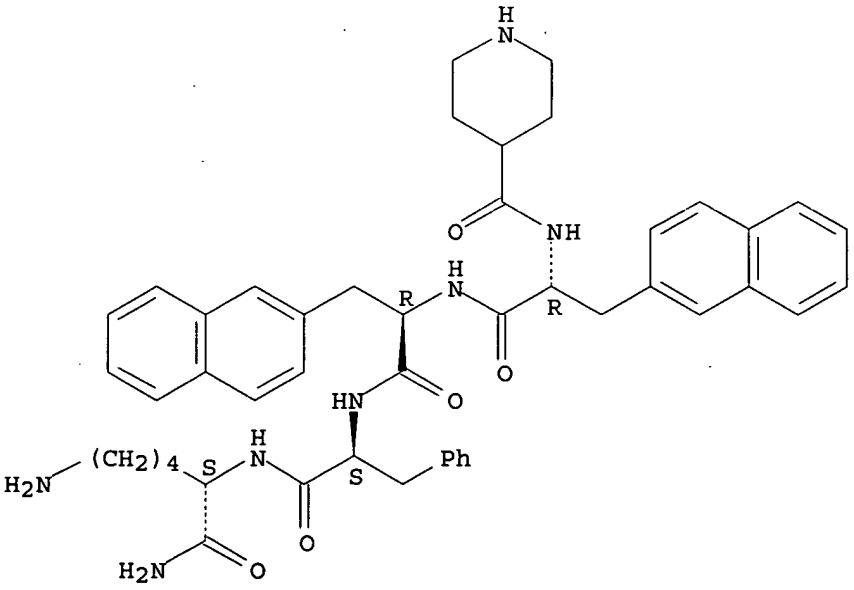
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(lysine-contg. peptides for treatment of heart disease)

RN 171369-45-2 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



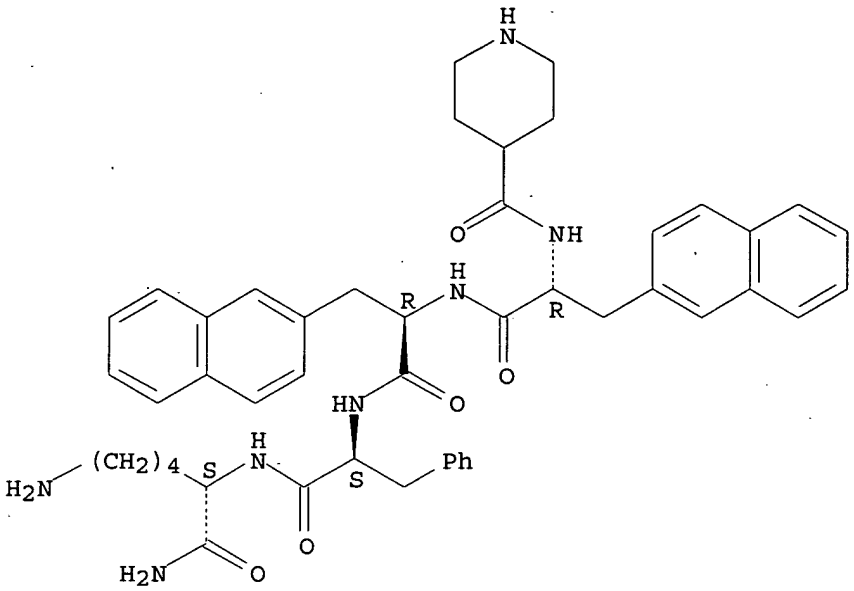
IT **171369-45-2**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(lysine-contg. peptides for treatment of heart disease)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 130:90616 CA
TITLE: Novel hexarelin analogs stimulate feeding in the rat through a mechanism not involving growth hormone release
AUTHOR(S): Torsello, Antonio; Luoni, Marina; Schweiger, Francesca; Grilli, Roberta; Guidi, Margherita; Bresciani, Elena; Deghenghi, Romano; Muller, Eugenio E.; Locatelli, Vittorio
CORPORATE SOURCE: Department of Pharmacology, University of Milan, Milan, 20129, Italy
SOURCE: European Journal of Pharmacology (1998), 360(2/3), 123-129
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Growth hormone-releasing peptides (GHRPs) are a class of small peptides that stimulate growth hormone (GH) release in several animal species, including the human. Moreover, GHRPs injected into the brain ventricles stimulate feeding in the rat. The aim of this study was to evaluate the GH-releasing properties of a series of novel GHRP analogs and the possible existence of functional correlations between the GH-releasing activity and the effects on feeding behavior. Two well-known hexapeptides, GHRP-6 and hexarelin, given s.c., dose dependently stimulated both GH release and feeding behavior in satiated rats. However, in a series of tri-, penta- and hexapeptide analogs of hexarelin, some compds. were active either on GH release or on eating behavior. Interestingly, even minor structural modifications resulted in major changes of the pharmacol. profile. The authors conclude that GHRPs have orexigenic properties after systemic administration which are largely independent from the effects they exert on GH release.
IT **171369-45-2**, EP 41613
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(hexarelin and somatoliberin analogs effect on feeding and growth hormone release in relation to structure)
RN 171369-45-2 CA
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2**, EP 41613
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(hexarelin and somatoliberin analogs effect on feeding and growth hormone release in relation to structure)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 130:33499 CA
TITLE: Treatment of osteoporosis with a growth hormone secretagogue and a vitamin D compound
INVENTOR(S): Laron, Zvi
PATENT ASSIGNEE(S): Ramot University Authority for Applied Research and Industrial Development Lt, Israel
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9853827	A1	19981203	WO 1998-IL246	19980528
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9875459	A1	19981230	AU 1998-75459	19980528

PRIORITY APPLN. INFO.: IL 1997-120955 19970530
WO 1998-IL246 19980528

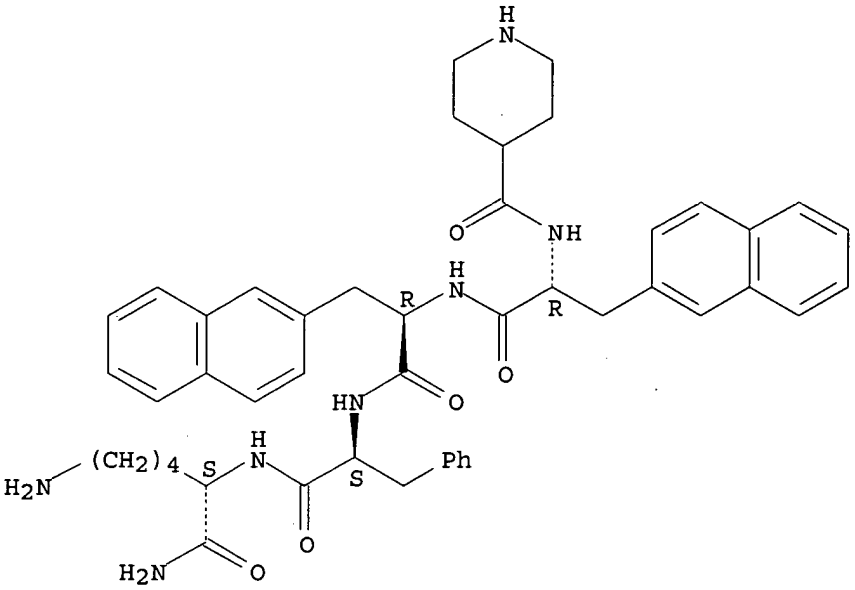
AB A method and pharmaceutical compn. for the systemic treatment of osteoporosis. The method comprises administrating to a subject a combination of: (1) a growth hormone secretagogue (GHS); and (2) a vitamin D compd. The method relates both to the treatment of active osteoporosis as well as the preventive treatment of nascent osteoporosis. Pharmaceutical compns. contg. the secretagogue and the vitamin D compd. are also claimed.

IT **171369-45-2**, G-7039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of osteoporosis with a growth hormone secretagogue and a vitamin D compd.)

RN 171369-45-2 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2**, G-7039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of osteoporosis with a growth hormone secretagogue and a vitamin D compd.)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 128:221633 CA
TITLE: Transdermal delivery of peptides
INVENTOR(S): Weibel, Helle; Andersen, Peter Hongaard; Spillum, Astrid
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808492	A1	19980305	WO 1997-DK346	19970826
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9739387	A1	19980319	AU 1997-39387	19970826
ZA 9707745	A	19980811	ZA 1997-7745	19970828

PRIORITY APPLN. INFO.: DK 1996-909 19960829
WO 1997-DK346 19970826

OTHER SOURCE(S): MARPAT 128:221633

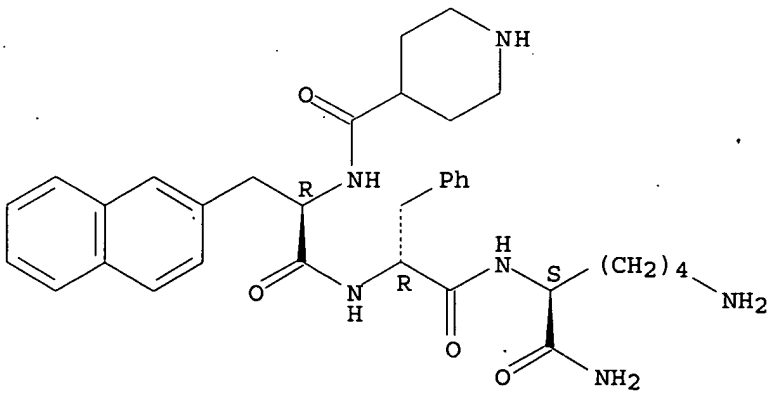
AB A drug delivery system comprising an iontophoretic transdermal device and a GHRP (growth hormone-releasing peptide) in a hydrogel is described. Thus, poly(vinyl alc.) 5, Na hyaluronate 0.5 and H-Aib-His-D-2Nal-D-Phe-D-Lys-NH2 (2Nal = 2-naphthylalanyl) 100 g were mixed and the mixt. dispersed by adding 100 g water at 60-70.degree. until a homogeneous aq. liq. is obtained. The aq. liq. was poured into a casting mold and frozen at -20.degree. overnight. The frozen mass was then thawed at ambient temp. to give a hydrogel.

IT **170851-76-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal delivery system for growth hormone-releasing peptides)

RN 170851-76-0 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **170851-76-0 170853-09-5 186840-65-3**
186840-78-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal delivery system for growth hormone-releasing peptides)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

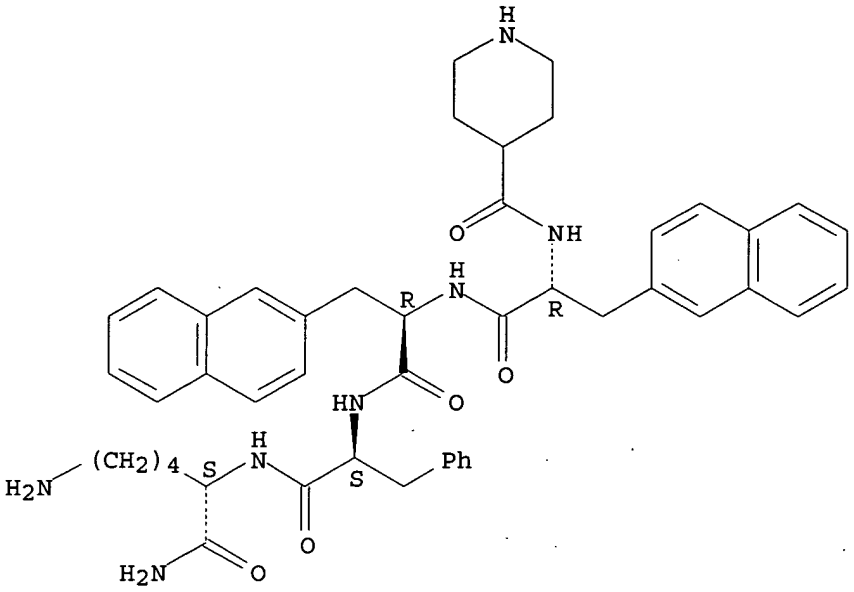
L4 ANSWER 13 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 127:314988 CA
TITLE: Growth hormone secretagogues stimulate the hypothalamic-pituitary-adrenal axis and are diabetogenic in the Zucker diabetic fatty rat
AUTHOR(S): Clark, R. G.; Thomas, G. B.; Mortensen, D. L.; Won, W. B.; Ma, Y. H.; Tomlinson, E. E.; Fairhall, K. M.; Robinson, I. C. A. F.
CORPORATE SOURCE: Department Endocrinology, Genentech Inc., South San Francisco, CA, 94080, USA
SOURCE: Endocrinology (1997), 138(10), 4316-4323
CODEN: ENDOAO; ISSN: 0013-7227
PUBLISHER: Endocrine Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Besides stimulating GH release, some GH secretagogues also release ACTH and adrenal steroids. Several novel classes of potent GH secretagogues have recently been described, and we have now tested their ability to release corticosterone in conscious normal rats. All analogs that released GH also stimulated corticosterone release to some degree, though the relative effects on GH and corticosterone varied somewhat. The corticosterone responses for some analogs were in the range of those obtained with CRF (2 .mu.g, i.v.), whereas closely related analogs inactive for GH release failed to release corticosterone. Activation of the hypothalamic-pituitary-adrenal axis with GH release by GHRPs could be a highly diabetogenic combination in susceptible individuals. Therefore, a potent GHRP pentapeptide analog (G7039, 100 .mu.g/day, s.c., bid) was given to young obese male Zucker diabetic fatty rats (ZDF, /group) for 24 days. Other groups received hGH (500 .mu.g/day, s.c., bid), recombinant human insulin-like growth factor (rhIGF)-1 (750 .mu.g/day, s.c., infusion) or excipient, alone or in combination. Both G7039 and hGH increased wt. gain, markedly raised serum glucose (G7039, 542.+-.37; hGH, 725.+-.30; excipient, 330.+-.57 mg/dL) and doubled insulin levels but had opposite effects on serum triglycerides (G7039, 1412.+-.44; hGH 501.+-.46; excipient 1058.+-.73 mg/dL) and fat depot wts. In contrast, treatment with IGF-1, alone or in combination with hGH or G7039, improved the diabetic state and stimulated growth. Thus, both G7039 and hGH treatment stimulated growth in ZDF rats, but greatly worsened diabetes, unless IGF-1 was coadministered. Some of the effects of G7039 could be explained by GH release, but the effects on blood lipids and body fat were not seen with hGH and may reflect the addnl. activation of the hypothalamic-pituitary-adrenal axis by the secretagogue. The magnitude of these adverse effects in the ZDF animals suggest that chronic administration of GHRP analogs with cortisol-releasing activity to obese or diabetes-prone individuals warrants careful evaluation.

IT **171369-45-2**, G7039
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(growth hormone secretagogues stimulate hypothalamic-pituitary-adrenal axis and are diabetogenic in Zucker diabetic fatty rat)

RN 171369-45-2 CA
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2**, G7039
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(growth hormone secretagogues stimulate hypothalamic-pituitary-adrenal axis and are diabetogenic in Zucker diabetic fatty rat)

L4 ANSWER 14 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 127:136081 CA
TITLE: Compounds with growth hormone releasing properties
INVENTOR(S): Hansen, Thomas Kruse; Peschke, Bernd; Lau, Jesper; Lundt, Behrend Friedrich; Ankersen, Michael; Watson, Brett; Madsen, Kjeld
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Hansen, Thomas Kruse; Peschke, Bernd; Lau, Jesper; Lundt, Behrend Friedrich; Ankersen, Michael; Watson, Brett; Madsen, Kjeld
SOURCE: PCT Int. Appl., 528 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723508	A1	19970703	WO 1996-DK529	19961216
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2239711	AA	19970703	CA 1996-2239711	19961216
AU 9710929	A1	19970717	AU 1997-10929	19961216
AU 715856	B2	20000210		

EP 869974	A1	19981014	EP 1996-941591	19961216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11501054	T2	19990126	JP 1996-523230	19961216
CN 1211991	A	19990324	CN 1996-199770	19961216
BR 9612275	A	19990713	BR 1996-12275	19961216
JP 11209336	A2	19990803	JP 1998-247935	19961216
JP 3007613	B2	20000207		
JP 2000143613	A2	20000526	JP 1999-328929	19961216
ZA 9610775	A	19970708	ZA 1996-10775	19961222
TW 480248	B	20020321	TW 1997-86100130	19970108
NO 9802872	A	19980821	NO 1998-2872	19980619

PRIORITY APPLN. INFO.:

DK 1995-1462	A	19951222
DK 1996-698	A	19960625
DK 1996-812	A	19960724
DK 1996-1248	A	19961106
JP 1996-523230	A	19961216
JP 1997-523230	A3	19961216
WO 1996-DK529	W	19961216

OTHER SOURCE(S): MARPAT 127:136081

AB Peptide mimetics RCOR1CH[(CH2)mR3]CONR2CHR4(CH2)nR5 [R = ring-substituted alkyl, R1, R2 = H, alkyl, aryl; R3 = (un)substituted alkoxy or aryl; R4 = (un)substituted carbamoyl, alkyl, or aryl; R5 = H, (un)substituted alkoxy or aryl; m, n = 1 or 2] were prepd. as drugs for stimulating the release of growth hormone. Thus, (2E)-5-amino-5-methyl-2-hexenoic acid N-methyl-N-[(1R)-1-[N-methyl-N-[(1R)-1-(methylcarbamoyl)-2-phenylethyl]carbamoyl]-2-(2-naphthyl)ethyl]amide was prepd. via amidation of the N-protected acid.

IT **193085-25-5P**

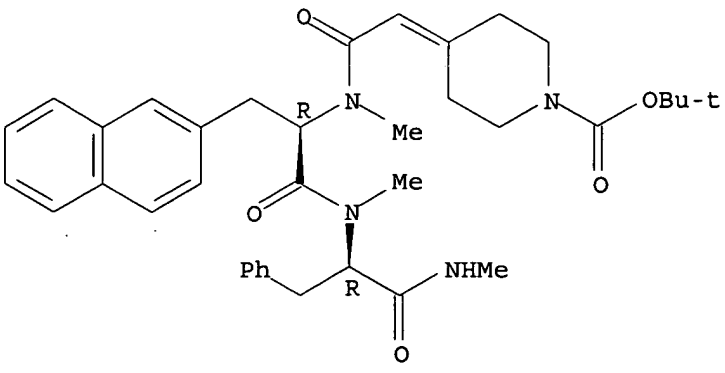
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptides with growth hormone releasing properties)

RN 193085-25-5 CA

CN D-Phenylalaninamide, N-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinylidene]acetyl]-N-methyl-3-(2-naphthalenyl)-D-alanyl-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **193085-25-5P 193085-99-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptides with growth hormone releasing properties)

IT **193079-12-8P 193079-33-3P 193086-80-5P**
193086-90-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides with growth hormone releasing properties)

L4 ANSWER 15 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 126:157825 CA
TITLE: Synthesis of peptides with growth hormone releasing properties
INVENTOR(S): Johansen, Nils Langeland; Lau, Jesper; Madsen, Kjeld; Thoegersen, Henning; Lundt, Behrend Friedrich; Peschke, Bernd; Hansen, Thomas Kruse; Hansen, Birgit Sehested
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Johansen, Nils Langeland; Lau, Jesper; Madsen, Kjeld; Thoegersen, Henning; Lundt, Behrend Friedrich; Peschke, Bernd; Hansen, Thomas Kruse; Hansen, Birgit, Sehested
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700894	A1	19970109	WO 1996-DK266	19960619
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
CA 2224434	AA	19970109	CA 1996-2224434	19960619
AU 9661882	A1	19970122	AU 1996-61882	19960619
AU 711104	B2	19991007		
EP 833845	A1	19980408	EP 1996-920742	19960619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1188484	A	19980722	CN 1996-194947	19960619
BR 9608909	A	19990302	BR 1996-8909	19960619
JP 11507928	T2	19990713	JP 1996-503521	19960619
CZ 287948	B6	20010314	CZ 1997-4081	19960619
ZA 9605279	A	19961224	ZA 1996-5279	19960622
TW 458958	B	20011011	TW 1996-85107813	19960628
NO 9705992	A	19980220	NO 1997-5992	19971219

PRIORITY APPLN. INFO.: DK 1995-719 A 19950622
DK 1995-1371 A 19951204
WO 1996-DK266 W 19960619
OTHER SOURCE(S): MARPAT 126:157825
AB Peptides A-B-C-D(E)p [A = H or R1(CH2)qXr(CH2)sCO, where q, s = 0-5, r = 0, 1, R1 = H, imidazolyl, guanidino, piperazino, etc., X = NH, CH2, CH:CH, phenylene, etc.; B = GtHu, where t, u = 0, 1, G and H are amino acid residues; C, D are D-amino acid residues; E = NHCHR3(CH2)vR2, where v = 0-8, R2 = H, imidazolyl, guanidino, piperazino, etc., R3 = H, CO2H, aminomethyl, carboxamido, CH2OH] were prepd. for use as growth hormone release stimulators. A pharmaceutical compn. is described. Thus, 2(R)-2-[N-(3-aminomethylbenzoyl)-N-methyl-D-2Nal]-N-methyl-3-phenyl-1-propanol [D-2Nal = 3-(2-naphthyl)-D-alanyl] was prepd. by coupling/deprotection reactions of Boc-N-methyl-D-2Nal-OH (Boc = tert-butoxycarbonyl), (R)-2-(methylamino)-3-phenyl-1-propanol, and

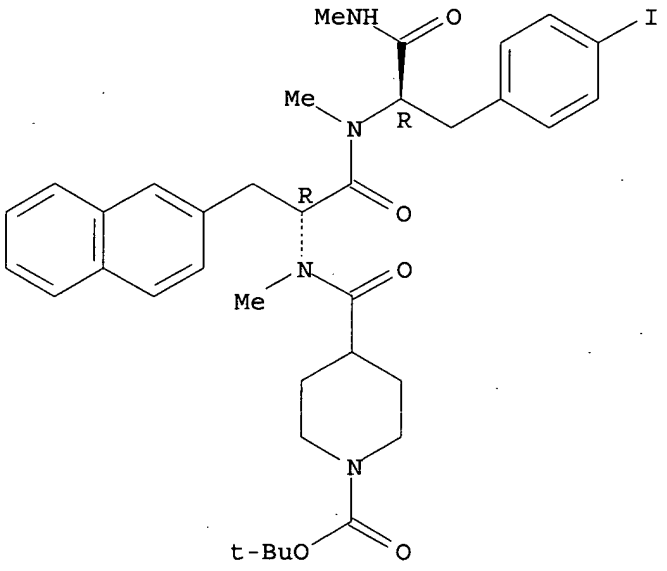
3-(Boc-aminomethyl)benzoic acid,.

IT **186841-03-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of peptides with growth hormone releasing properties)

RN 186841-03-2 CA

CN D-Phenylalaninamide, N-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]carbonyl]-N-methyl-3-(2-naphthalenyl)-D-alanyl-4-iodo-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **186841-03-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of peptides with growth hormone releasing properties)

IT **186840-65-3P 186840-78-8P 186841-09-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of peptides with growth hormone releasing properties)

L4 ANSWER 16 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 125:248488 CA
TITLE: Preparation of peptide analogs with growth hormone releasing properties.
INVENTOR(S): Lau, Jesper; Peschke, Bernd; Hansen, Thomas Kruse; Johansen, Nils Langeland; Ankersen, Michael
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622997	A1	19960801	WO 1996-DK45	19960126

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE

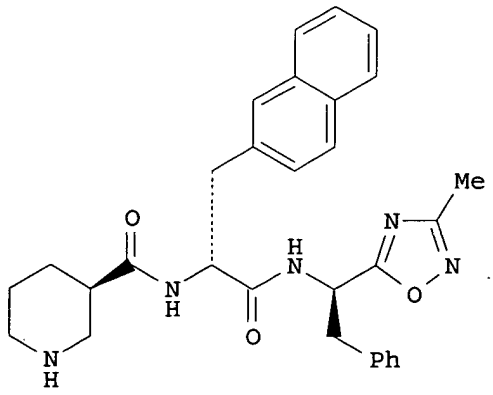
ZA 9600544	A	19960826	ZA 1996-544	19960124	
CA 2211381	AA	19960801	CA 1996-2211381	19960126	
AU 9644315	A1	19960814	AU 1996-44315	19960126	
AU 705744	B2	19990603			
EP 805816	A1	19971112	EP 1996-900550	19960126	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
CN 1176645	A	19980318	CN 1996-192184	19960126	
BR 9606845	A	19980526	BR 1996-6845	19960126	
JP 11500107	T2	19990106	JP 1996-522559	19960126	
IL 116923	A1	20000928	IL 1996-116923	19960126	
TW 482767	B	20020401	TW 1996-85102211	19960227	
US 6013658	A	20000111	US 1997-897239	19970717	
NO 9703446	A	19970926	NO 1997-3446	19970725	
US 6350767	B1	20020226	US 1999-443993	19991119	

PRIORITY APPLN. INFO.:

DK 1995-100	A	19950127
DK 1995-99	A	19950127
DK 1995-1083	A	19950928
DK 1995-1084	A	19950928
DK 1995-1372	A	19951204
WO 1996-DK45	W	19960126
US 1997-897239	A3	19970717

OTHER SOURCE(S): MARPAT 125:248488

GI



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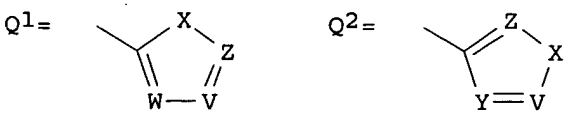


AB J(CH2)mCH(BD)ACH[(CH2)pG]FnE [n = 0, 1; m = 1, 2; p = 0-2; A = CH(OR1)CH2, CH2CO, OCH2, CH:CH, NR1W, NR1CH2; R1 = H, alkyl; W = O, S; B = CH(OR2)CH2, CH2CO, CH:CH, NR2C:W1, NR2CH2; R2 = H, alkyl; W1 = O, S; D = R5R6N(CH2)r(CR7R8)s(CH2)oCONR3CHR4, R5R6N(CH2)r(CR7R8)s(CH2)tMq(CH2)o;

R3-R8 = H, (substituted) alkyl; R4R5, R6R7, R5R8, R7R8 = (CH2)iU(CH2)j; i, j = 1, 2; U = O, S, bond; M = O, S, CH:CH, (substituted) phenylene, thienylene, naphthylene, pyridylene; o, r, t = 0-4; q, s = 0, 1; r+s+t = 1-4; E = H, CHKL, Q1, Q2; L = H, OR9, CONR9R10, (substituted) alkyl, Q1, Q2; R9, R10 = H, alkyl; R9R10 = (CH2)kU1(CH2)l; k, l = 1-3; k+l = 3, 4, 6; U1 = O, S, bond; X = NR11, O, S; V = CR12, N; Y = CR13, N; Z = CR14, N; R11 = H, alkyl, aralkyl; R12-R14 = H, halo, OH, alkyl, Ph, oxazol-5-yl, etc.; K = H, R18R19Q(CH2)a(CR20R21)b(CH2)d; R18-R21 = H, (substituted) alkyl; R18R19, R18R21, R19R20, R20R21 = (CH2)k'Z(CH2)l'; k', l' = 1-3; k'+l' = 3-6; Z = O, S, bond; b = 0, 1; a, d = 0-4; a+b = 1-4; Q = CR22, N; R22 = H, alkyl; F = CH(OR23)CH2, CH2CO, NR23CW11, CH:CH, OCH2, NR23CH2; W11 = O, S; J = H, (substituted) Ph, pyridyl, naphthyl, indolyl, imidazolyl, thienyl; G = H, J], were prepd. for stimulation of growth hormone release (no data). Thus, (R)-BOC-Phe-OH was refluxed with acetamide oxime and DCC in pyridine/DMF to give (R)-1-(3-methyl-1,2,4-oxadiazol-5-yl)-2-phenylethylamine. The latter was deprotected and coupled with (R)-N-BOC-3-(2-naphthyl)alanine using N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide, hydroxybenzotriazole, and Et3N in DMF. This was deprotected, coupled with (R)-N-BOC-3-piperidinecarboxylic acid, and the product was deprotected to give title compd. (I).

IT **181645-61-4P**

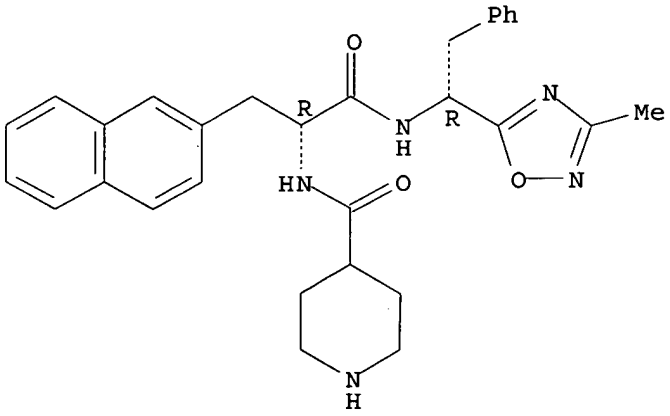
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptide analogs with growth hormone releasing properties)

RN 181645-61-4 CA

CN 4-Piperidinecarboxamide, N-[2-[[1-(3-methyl-1,2,4-oxadiazol-5-yl)-2-phenylethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT **181645-61-4P 181645-66-9P 181645-67-0P 181645-68-1P 181645-76-1P 181645-77-2P 181645-78-3P 181645-80-7P 181646-84-4P 181647-77-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide analogs with growth hormone releasing properties)
IT **181647-59-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of peptide analogs with growth hormone releasing properties)
IT **181645-97-6P 181646-20-8P 181646-22-0P**
181646-24-2P 181646-72-0P 181646-75-3P
181646-81-1P 181646-83-3P 181646-85-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptide analogs with growth hormone releasing properties)

L4 ANSWER 17 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 125:143328 CA
TITLE: Preparation of low molecular weight peptide mimics as growth hormone release stimulators
INVENTOR(S): Somers, Todd C.; Elias, Kathleen A.; Clark, Ross G.; Mcdowell, Robert S.; Stanley, Mark S.; Burnier, John P.; Rawson, Thomas E.
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615148	A2	19960523	WO 1995-US14968	19951016
WO 9615148	A3	19961114		
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5798337	A	19980825	US 1994-340767	19941116
AU 9641644	A1	19960606	AU 1996-41644	19951016
AU 698676	B2	19981105		
IL 115994	A1	20000928	IL 1995-115994	19951114
CA 2203375	AA	19960523	CA 1995-2203375	19951116
ZA 9509757	A	19970516	ZA 1995-9757	19951116
EP 792289	A1	19970903	EP 1995-940028	19951116
EP 792289	B1	20000712		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10509152	T2	19980908	JP 1995-516347	19951116
EP 999220	A2	20000510	EP 1999-123413	19951116
EP 999220	A3	20020130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 194626	E	20000715	AT 1995-940028	19951116
ES 2150018	T3	20001116	ES 1995-940028	19951116
US 6034216	A	20000307	US 1998-57074	19980408

PRIORITY APPLN. INFO.: US 1994-340767 A 19941116
WO 1995-US14968 W 19951016
EP 1995-940028 A3 19951116
OTHER SOURCE(S): MARPAT 125:143328
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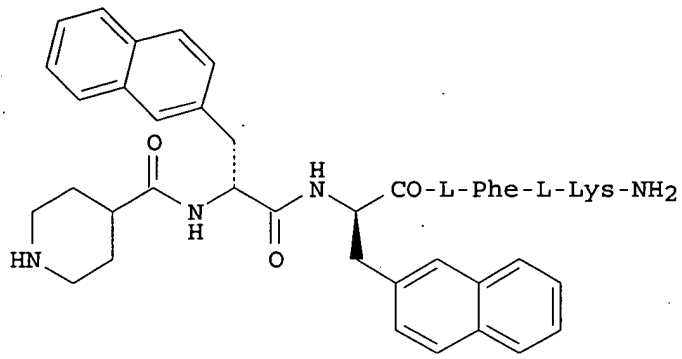


I

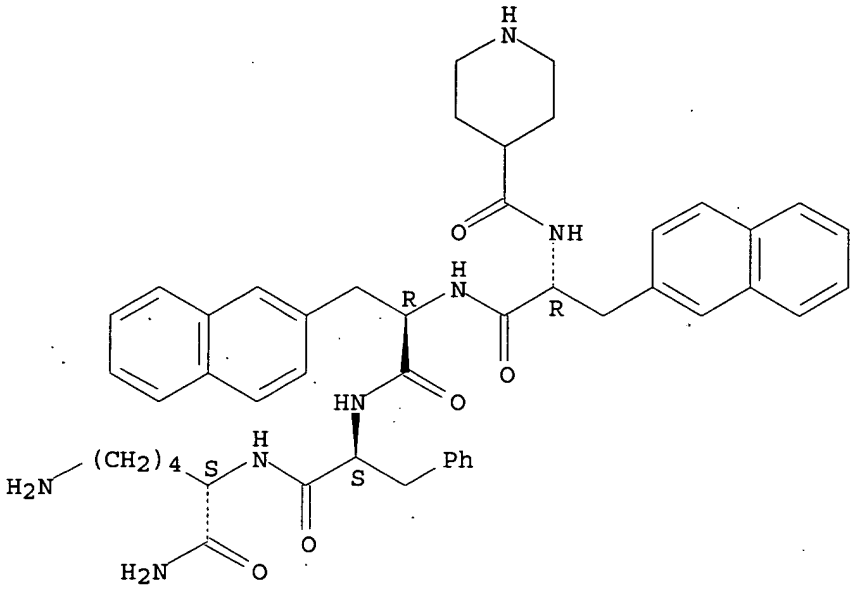
AB The present invention comprises growth hormone releasing peptides/peptidomimetics (GHRP) capable of causing release of growth hormone from the pituitary. Compns. contg. the GHRP's of this invention are used to promote growth in mammals either alone or in combination with other growth promoting compds., esp. insulin-like growth factor-1 (IGF-1). In a method of this invention GHRP's in combination with IGF-1 are used to treat type II diabetes. Thus, I.CF3CO2H was prepd. by std. solid-phase methods on an aminomethyl resin using 9-fluorenylmethoxycarbonyl (Fmoc) N.alpha. protection. I induced significant body wt. and organ wt. gain in rats.

IT **171369-45-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)

RN 171369-45-2 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2P 171369-47-4P 171369-48-5P**
171369-49-6P 171675-04-0P 179382-49-1P
179382-51-5P 179383-08-5P 179383-43-8P
179383-47-2P 179383-49-4P 179383-51-8P
179383-53-0P 179383-55-2P 179383-59-6P
179383-62-1P 179383-64-3P 179383-66-5P
179383-68-7P 179383-70-1P 179383-73-4P
179383-77-8P 179383-79-0P 179383-81-4P
179383-83-6P 179383-85-8P 179383-90-5P
179383-92-7P 179383-94-9P 179383-98-3P
179384-02-2P 179384-03-3P 179384-04-4P
179384-08-8P 179384-56-6P 179384-57-7P
179384-60-2P 179384-62-4P 179384-64-6P
179384-67-9P 179384-96-4P 179384-98-6P
179385-16-1P 179385-40-1P 179385-61-6P
179385-72-9P 179385-76-3P 179385-91-2P
179385-93-4P 179385-94-5P 179385-95-6P
179385-96-7P 179385-97-8P 179385-98-9P
179386-00-6P 179386-02-8P 179386-04-0P
179386-74-4P 179386-75-5P 179603-43-1P
179796-98-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)

IT **179385-33-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)

L4 ANSWER 18 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 125:59145 CA
TITLE: N-Terminus modified peptide analogs of LHRH as LHRH antagonists

INVENTOR(S): Haviv, Fortuna; Fitzpatrick, Timothy D.; Swenson, Rolf E.; Nichols, Charles J.; Mort, Nicholas A.
PATENT ASSIGNEE(S): Tap Holdings Inc., USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 103,474, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5502035	A	19960326	US 1994-279677	19940727
WO 9504541	A1	19950216	WO 1994-US8678	19940729
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2167834	AA	19950216	CA 1994-2167834	19940729
EP 738154	A1	19961023	EP 1994-924100	19940729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09501913	T2	19970225	JP 1994-506473	19940729

PRIORITY APPLN. INFO.: US 1993-103474 19930806
US 1993-103022 19930806
US 1994-279677 19940727
WO 1994-US8678 19940729

OTHER SOURCE(S): MARPAT 125:59145

AB Decapaptide and undecapaptides substituted on the N-terminal nitrogen atom by acyl groups which include furo-2-yl, isonicotinyl, nicotinyl, 2-, 3-, and 4-quinolinecarbonyl, shikimyl, dihydroshikimyl, and tetrahydrofur-2-oyl are potent antagonists of LHRH and are useful for suppressing the levels of sex hormones in mammals. Thus, e.g., N-Ac-D-Tyr-D-2Nal-D-4ClPhe-D-3Pal-Ser-NMeTyr-D-Lys(N-.epsilon.-nicotinyl)-Leu-Lys(N-.epsilon.-isopropyl)-Pro-D-Ala-NH2 [D-2Nal = D-3-(naphth-2-yl)alanine, D-3Pal = D-3-(pyrid-3-yl)alanine] was prepd. in a peptide synthesizer and exhibited in vitro LHRH antagonist potency of pA2 = 10.75, where pA2 = neg. logarithm of the concn. of antagonist required to shift the response curve produced by the agonist leuprolide to two-fold higher concn.

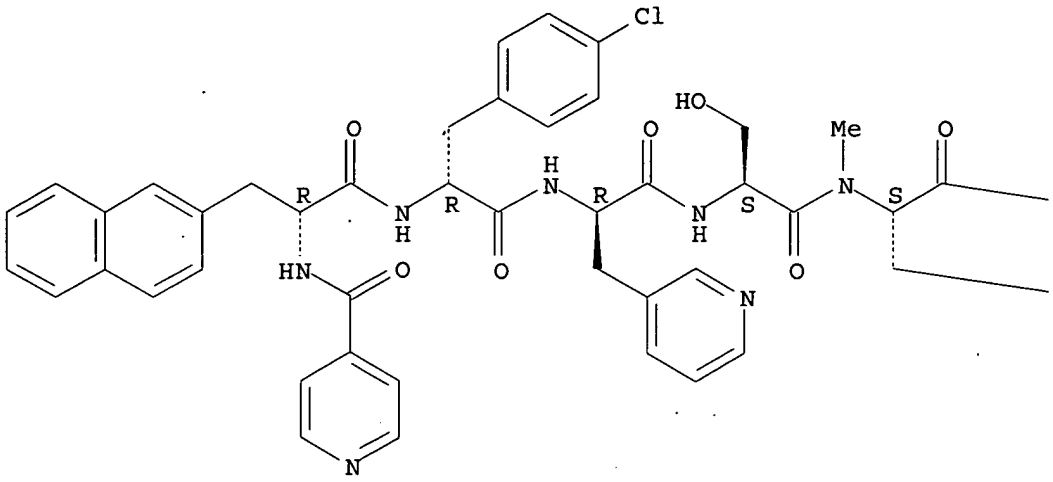
IT **168193-57-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-terminus modified peptide analogs of LHRH as LHRH antagonists)

RN 168193-57-5 CA

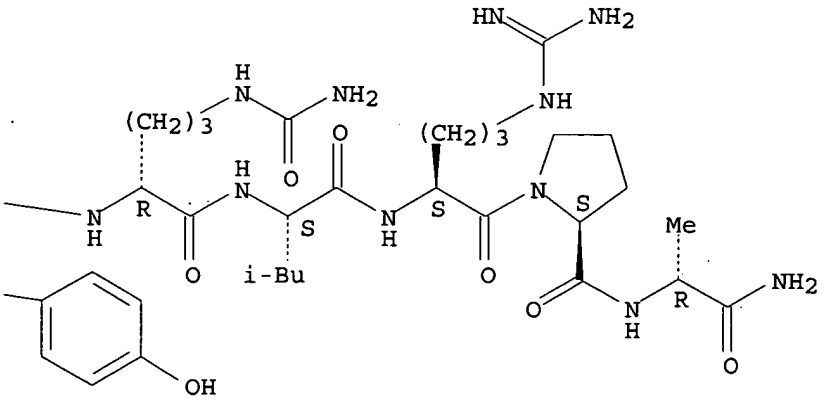
CN D-Alaninamide, 3-(2-naphthalenyl)-N-(4-pyridinylcarbonyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N5-(aminocarbonyl)-D-ornithyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT **168193-57-5P 177614-86-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-terminus modified peptide analogs of LHRH as LHRH antagonists)

L4 ANSWER 19 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 124:251268 CA
TITLE: An integrated method to determine epithelial transport and bioactivity of oral drug candidates in vitro
AUTHOR(S): Rubas, Werner; Cromwell, Mary E. M.; Mrsny, Randall J.; Ingle, Gladys; Elias, Kathleen A.
CORPORATE SOURCE: Genentech, Inc., Pharmaceutical Res. Development, San Francisco, CA, 94080-4990, USA
SOURCE: Pharmaceutical Research (1996), 13(1), 23-6
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Plenum

DOCUMENT TYPE: Journal
LANGUAGE: English

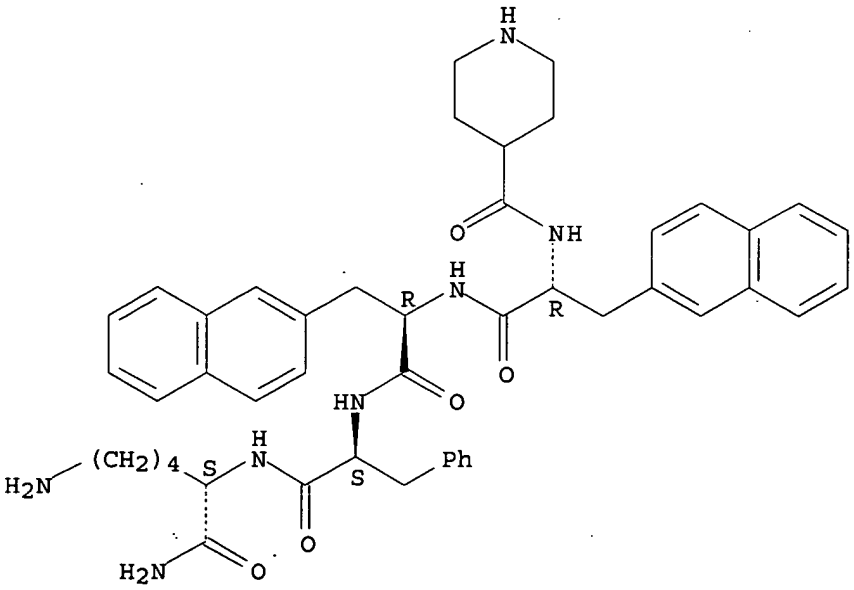
AB The authors describe an integrated method to assess both transport and bioactivity for a potent class of peptides at levels below HPLC sensitivity. This approach uses cells capable of responding to the presence of a biol. active drug. The relative response by these cells, therefore, is a product of the amt. transported, metabolic stability and bioactivity properties for each candidate mol. To illustrate this approach, the authors have used confluent monolayers of Caco-2 cells set above primary cultures of rat pituitary cells which respond to growth hormone-releasing peptide (GHRP) by secreting growth hormone (GH). The compds. tested in this study were synthetically derived from the sequential redn. and optimization of GHRP-6, the most widely studied GHRP. By using a single measurement as a biol. endpoint, the release of GH in this case, the authors were able to rapidly and simultaneously assess relative transport rates and metabolic stability for several GHRP analogs having exceptional bioactivity. The present study describes an in vitro method to simultaneously assess aspects of epithelial drug transport and bioactivity.

IT **171369-45-2**, G-7039
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(integrated method to det. epithelial transport and bioactivity of oral drug candidates in vitro applied to peptides such as growth hormone-releasing peptide and its analogs)

RN 171369-45-2 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2**, G-7039 **171369-48-5**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(integrated method to det. epithelial transport and bioactivity of oral

drug candidates in vitro applied to peptides such as growth hormone-releasing peptide and its analogs)

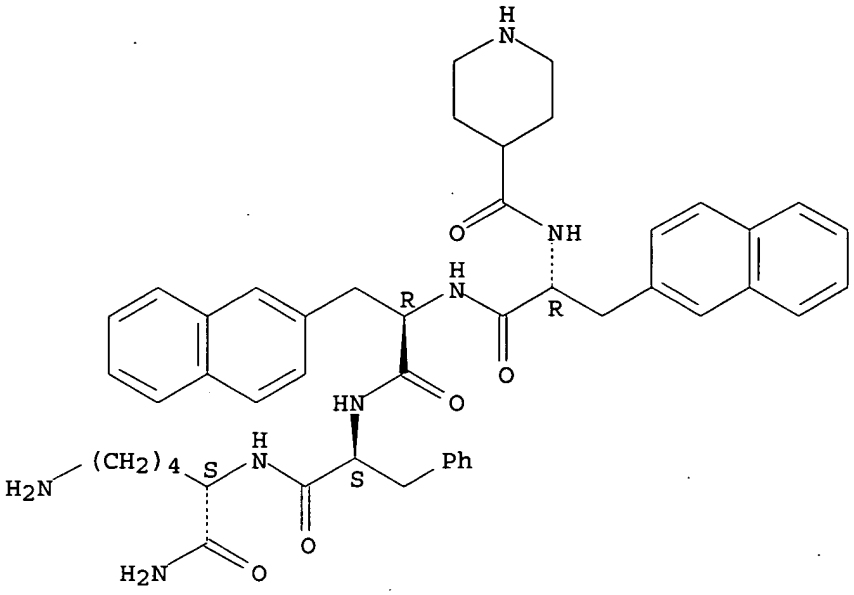
L4 ANSWER 20 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 124:21930 CA
TITLE: In vitro characterization of four novel classes of growth hormone-releasing peptide
AUTHOR(S): Elias, Kathleen A.; Ingle, Gladys S.; Burnier, John P.; Hammonds, R. Glenn; McDowell, Robert S.; Rawson, Thomas E.; Somers, Todd C.; Stanley, Mark S.; Cronin, Michael J.
CORPORATE SOURCE: Dep. Endocrine Res., Genentech, Inc., South San Francisco, CA, 94080, USA
SOURCE: Endocrinology (1995), 136(12), 5694-9
CODEN: ENDOAO; ISSN: 0013-7227
PUBLISHER: Endocrine Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reexamn. of the hexapeptide GH-releasing peptide (GHRP-6) structure/function has lead to the development of 4 novel classes of compd. that stimulate GH release. Each class is represented as follows: a pentapeptide, G-7039; a tetrapeptide, G-7134; a pseudotripeptide, G-7502; and a rigid cyclic heptapeptide, G-7203. The EC50 values for these compds., detd. by GH dose-response curves using primary cultures of rat pituitary cells, were 0.18, 0.34, 10.6, and 0.43 nM, resp. To demonstrate that these compds. were acting at the putative GHRP receptor, challenges were made using combinations that included GHRP-6 and GH-releasing hormone (GH-RH). All 4 new classes further increased GH release in combination with GH-RH, but not with GHRP-6. Homologous desensitization occurred after 45 min of exposure to the new compds. while the cells remained sensitive to GH-RH. Somatostatin inhibited all of these compds. Addnl., G-7039 elevated free calcium, as occurs with GHRP-6. All 4 classes elicited a robust GH release, a small increase in PRL, and no change in LH, FSH, ACTH, or TSH. Evidently, these novel compds. are potent and direct stimulators of pituitary GH release, with in vitro attributes that suggest mediation via a specific GHRP-like mechanism.

IT **171369-45-2P**, G 7039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(in vitro characterization of classes of growth hormone-releasing peptide)

RN 171369-45-2 CA
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2P**, G 7039 **171675-04-0P**, G 7134
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(in vitro characterization of classes of growth hormone-releasing peptide)

L4 ANSWER 21 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 124:9462 CA
TITLE: Preparation of peptides with growth hormone releasing properties.
INVENTOR(S): Johansen, Nils Langeland; Lau, Jesper; Madsen, Kjeld; Lundt, Behrend Friedrich; Thoegersen, Henning; Hansen, Birgit Sehested; Peschke, Bernd
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517423	A1	19950629	WO 1994-DK485	19941222
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9410261	A	19950623	ZA 1994-10261	19941222
CA 2179597	AA	19950629	CA 1994-2179597	19941222
AU 9512724	A1	19950710	AU 1995-12724	19941222
AU 689181	B2	19980326		
HU 73497	A2	19960828	HU 1995-1947	19941222

EP 736039	A1	19961009	EP 1995-903774	19941222
EP 736039	B1	20001025		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1138335	A	19961218	CN 1994-194590	19941222
CN 1052731	B	20000524		
BR 9408377	A	19970819	BR 1994-8377	19941222
RO 115635	B1	20000428	RO 1996-1293	19941222
IL 112112	A1	20000629	IL 1994-112112	19941222
AT 197158	E	20001115	AT 1995-903774	19941222
ES 2153469	T3	20010301	ES 1995-903774	19941222
JP 3181918	B2	20010703	JP 1995-517109	19941222
PL 181280	B1	20010731	PL 1994-315113	19941222
SK 281963	B6	20010911	SK 1996-820	19941222
TW 438811	B	20010607	TW 1995-84100274	19950113
US 5767085	A	19980616	US 1995-448623	19950606
FI 9602584	A	19960620	FI 1996-2584	19960620
NO 9602665	A	19960823	NO 1996-2665	19960621

PRIORITY APPLN. INFO.:

DK 1993-1439	A	19931223
DK 1994-121	A	19940128
DK 1994-1191	A	19941014
WO 1994-DK485	W	19941222

OTHER SOURCE(S): MARPAT 124:9462

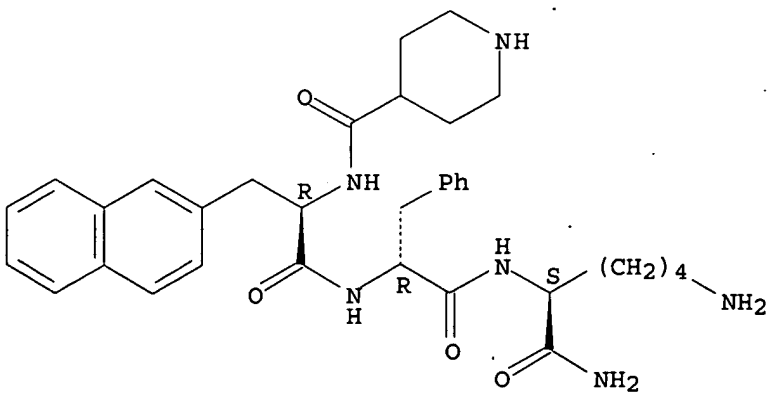
AB A-B-C-D-(E)p [p = 0, 1; A = H, R1(CH2)qXr(CH2)sCO; q = 0-5; r = 0,1; s = 0-5; R1 = H, imidazolyl, guanidino, piperazino, morpholino, piperidino, etc.; X = NH, CH2, CH:CH, phenylene, cyclohexylene, naphthylene, thienylene, (substituted) methylene, etc.; B = GtHu; u, t = 0, 1; G, H = D- or L-amino acids, including unnatural amino acids; C, D = defined D-amino acids; E = NHCHR10(CH2)vR9; v = 0-8; R9 = H, imidazolyl, guanidino, piperazino, morpholino, piperidino, etc.; R10 = H, CO2H, CH2OH, etc.; amide bonds may be modified], were prepd. Thus, H-Ala-Phe-D-2Nal-D-Phe-Lys-NH2 (2Nal = 2-naphthylalanyl) (prepd. by solid phase synthesis) showed EC50 = 2 nM for stimulation of growth hormone secretion from rat pituitary cells.

IT **170851-76-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides with growth hormone releasing properties)

RN 170851-76-0 CA

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

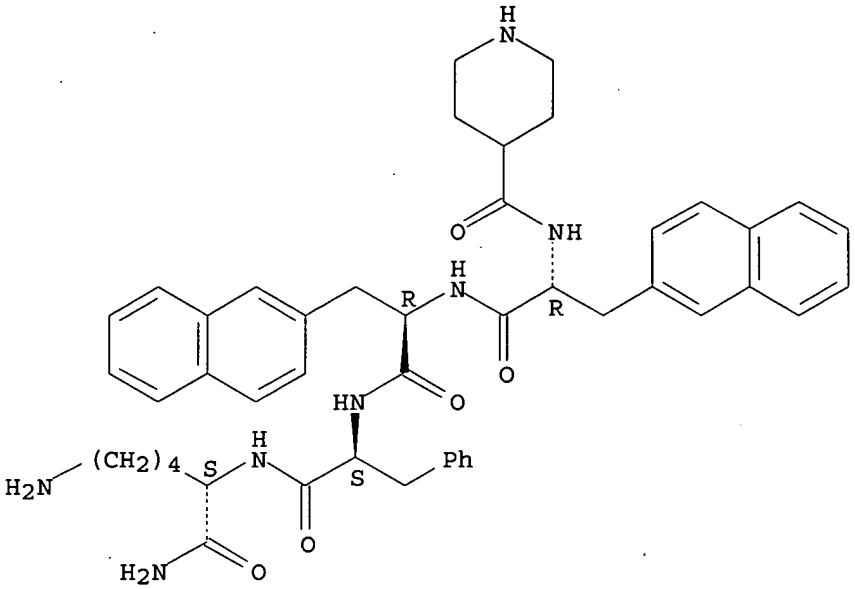
Absolute stereochemistry.



IT **170851-76-0P 170851-77-1P 170853-09-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides with growth hormone releasing properties)

L4 ANSWER 22 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 124:990 CA
TITLE: Growth hormone secretagogues: characterization, efficacy, and minimal bioactive conformation
AUTHOR(S): McDowell, Robert S.; Elias, Kathleen A.; Stanley, Mark S.; Burdick, Daniel J.; Burnier, John P.; Chan, Kathryn S.; Fairbrother, Wayne J.; Hammonds, R. Glenn; Ingle, Gladys S.; et al.
CORPORATE SOURCE: Dep. Bioorg. Chem., Genentech, Inc., South San Francisco, CA, 94080, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1995), 92(24), 11165-9
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Another class of growth hormone (GH) secretagogues has been discovered by altering the backbone structure of a flexible linear GH-releasing peptide (GHRP). In vitro and in vivo characterization confirms these GH secretagogues as the most potent and smallest (Mr < 500) reported. Anabolic efficacy is demonstrated in rodents with intermittent delivery, a convergent model of the bioactive conformation of GHRP5 is developed and is supported by the NMR structure of a highly potent cyclic analog of GHRP-2. The model and functional data provide a logical framework for the further design of a low-mol. wt. secretagogues and illustrate the utility of an interdisciplinary approach to elucidating potential bound-state conformations of flexible peptide ligands.
IT **171369-45-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(growth hormone-releasing peptide analogs as growth hormone secretagogues)
RN 171369-45-2 CA
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **171369-45-2 171369-47-4 171369-48-5**
171369-49-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(growth hormone-releasing peptide analogs as growth hormone secretagogues)

L4 ANSWER 23 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 123:228905 CA
TITLE: Preparation of N-terminus acylated analogs of LHRH as LHRH antagonists.
INVENTOR(S): Haviv, Fortuna; Fitzpatrick, Timothy D.; Swenson, Rolf E.; Nichols, Charles J.; Mort, Nicholas A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504541	A1	19950216	WO 1994-US8678	19940729
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5413990	A	19950509	US 1993-103022	19930806
US 5502035	A	19960326	US 1994-279677	19940727
EP 738154	A1	19961023	EP 1994-924100	19940729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09501913	T2	19970225	JP 1994-506473	19940729

PRIORITY APPLN. INFO.: US 1993-103022 19930806
US 1994-279677 19940727
US 1993-103474 19930806
WO 1994-US8678 19940729
OTHER SOURCE(S): MARPAT 123:228905

AB X-A-B-C-D-E-F-G-H-I-J-K [X = shikimyl, dihydroshikimyl, picolinoyl, salicyl, p-toluenesulfonyl, furoyl, tetrahydrofuroyl, thienylcarbonyl, tetrahydrothienylcarbonyl, pyrrolylcarbonyl, prolyl, N-acetylprolyl, (alkyl-substituted) nicotinoyl, isonicotinoyl, quinolinecarbonyl, etc.; A = null, D-Ala, 3-aminopropionyl, 7-aminoheptanoyl, 11-aminoundecanoyl, azaglycyl, Gly, sarcosyl, D-Ser, etc.; B = D-Phe, D-3-(4-chlorophenyl)alanyl, Gly, azaglycyl, D-3-(naphth-2-yl)alanyl, etc.; C = D-3,3-diphenylalanyl, D-3-(4-fluorophenyl)alanyl, D-3-(quinolin-3-yl)alanyl, etc.; D = D-Ala, Gly, D-3-(naphth-1-yl)alanyl, D-3-(pyrid-3-yl)alanyl, D-3-(thiazol-2-yl)alanyl, etc.; E = Gly, Ser, homoseryl, etc.; F = (N.alpha.-alkyl-substituted) Ala, 3-(4-nitrophenyl)alanyl, 3-(4-aminocyclohexyl)alanyl, Tyr, Phe, Arg, Gly, His, etc.; G = Gly, D-citrullyl, D-homocitrullyl, .beta.-alanyl, etc.; H = Leu, Gly, Val, Pro, sarcosyl, cyclohexylalanyl, etc.; I = citrullyl, homocitrullyl, His, Arg, homoarginyl, etc.; J = Pro, 4-hydroxyprolyl, pipecolyl, azetidinyl, 2,8-tetrahydroisoquinolin-2-carbonyl, sarcosyl, Gly, etc.; K = NHEt, D-Ala-OH, D-Ala-NH2, Glu-OH, D-Ser-NH2, azaglycylamide, etc.], were prepd. Thus, 2-furoyl-Gly-D-2-Nal-D-4-Cl-Phe-D-3-Pal-Ser-NMeTyr-D-Lys(Shik)-Leu-Harg-Pro-D-Ala-NH2 [Harg = homoarginyl, D-Lys(Shik) = D-Lys acylated at N.epsilon. by shikimyl, D-2-Nal = D-3-naphth-2-ylalanyl, D-3-Pal= 3-pyrid-3-ylalanyl, D-4-Cl-Phe = D-3-(4-chlorophenyl)alanyl, NMeTyr = N.alpha.-methylated Tyr], prepd. by solid phase synthesis, antagonized LHRH with pA2 = 11.77 according to the method of F. Haviv.

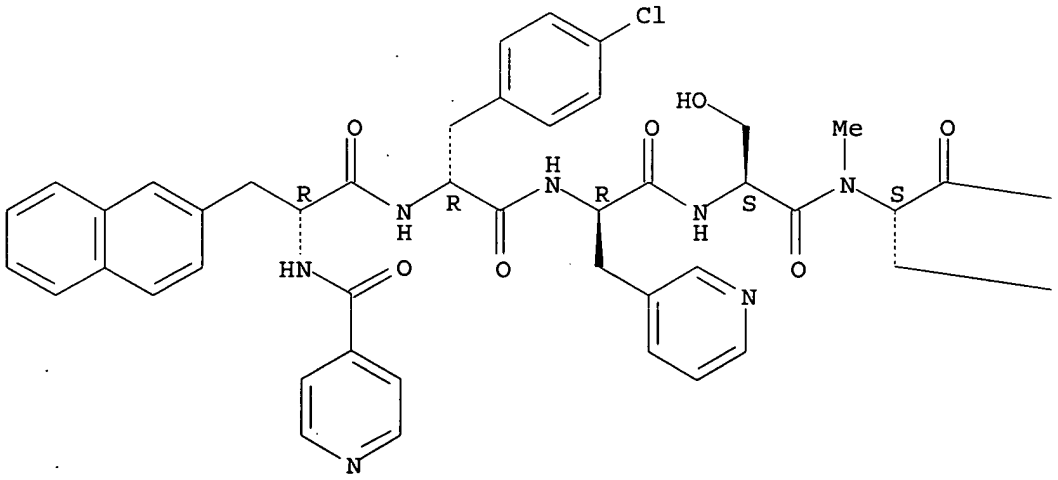
IT **168193-48-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-terminus acylated analogs of LHRH as LHRH antagonists)

RN 168193-48-4 CA

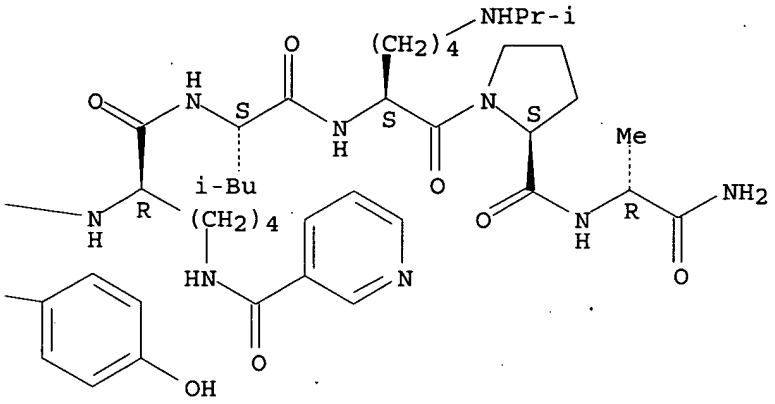
CN D-Alaninamide, 3-(2-naphthalenyl)-N-(4-pyridinylcarbonyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

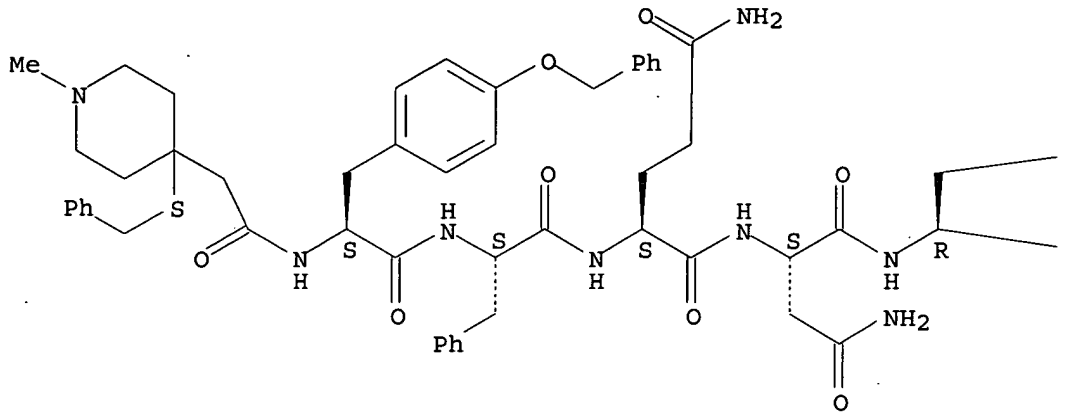


IT **168193-48-4P 168193-57-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-terminus acylated analogs of LHRH as LHRH antagonists)

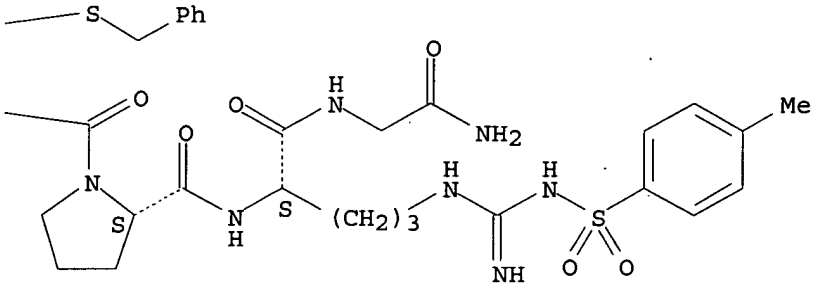
L4 ANSWER 24 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 108:94930 CA
TITLE: Synthesis of arginine-vasopressins, modified in positions 1 and 2, as antagonists of the vasopressor response to the parent hormone
AUTHOR(S): Lammek, Bernard; Rekowski, Piotr; Kupryszewski, Gotfryd; Melin, Per; Ragnarsson, Ulf
CORPORATE SOURCE: Inst. Chem., Univ. Gdansk, Gdansk, 80-952, Pol.
SOURCE: Journal of Medicinal Chemistry (1988), 31(3), 603-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:94930
GI For diagram(s), see printed CA Issue.
AB Arginine-vasopressin (AVP) analogs I (X = CHMe, CHCMe3, CHPh, NMe; R = H, Me) were prepd. from Boc-Tyr(R)-Phe-Gln-Asn-Cys(CH2Ph)-Pro-Arg(Tos)-Gly-NH2 (II; Boc = Me3CO2C; Tos = tosyl; R = CH2Ph, Me) and acids III (X = same). Thus, II were Boc-deblocked by CF3CO2H and then coupled with III by DCC/1-hydroxybenzotriazole to give the corresponding protected peptides, which were deblocked by Na/NH3 and oxidized by K3Fe(CN)6 to give I. II were obtained by the solid-phase method. Some of the analogs were potent and selective antagonists of the vasopressor response to AVP.
IT **112196-05-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking-oxidative cyclization of)
RN 112196-05-1 CA
CN Glycinamide, N-[[1-methyl-4-[(phenylmethyl)thio]-4-piperidinyl]acetyl]-O-(phenylmethyl)-L-tyrosyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-S-(phenylmethyl)-L-cysteinyl-L-prolyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT **112196-05-1P 112196-06-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking-oxidative cyclization of)

L4 ANSWER 25 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 102:96085 CA
TITLE: Hexapeptide amides
INVENTOR(S): Hansen, Philip E.; Morgan, Barry A.
PATENT ASSIGNEE(S): Sterling Drug, Inc., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4472305	A	19840918	US 1983-495383	19830517

PRIORITY APPLN. INFO.: US 1983-495383 19830517

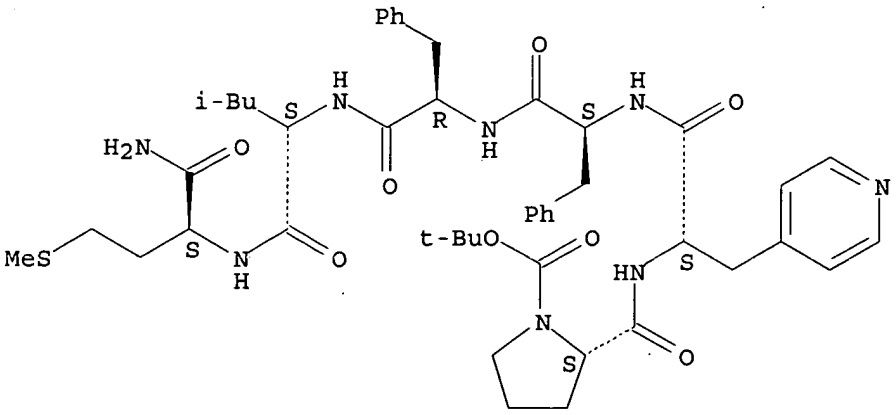
AB Hexapeptide amides H-Pro-NR1CHR2CO-NR3CHR4CO-NR5CHR6CO-NR7CHR8CO-NR9CHR10CONH2 [R1, R5, R7, R9 = H, Me; R2 = Ph, CH2Ph, CH2C6H4Cl-p, 4-pyridylmethyl, 3-indolylmethyl; R3 = H, Me, CH2Ph, CH2CH2Ph; R4 = H, CH2Ph, CH2C6H4Cl-p, CH2CH2Ph, 4-pyridylmethyl; R6 = H, Me, CH2Ph, 4-pyridylmethyl, 3-indolylmethyl, CH2NH2, (CH2)3NH2, 3-guanidiniopropyl, CH2CH2CO2H; R6R7 = CH2CH2; R8 = CH2CHMe2, CH2NMe2; R10 = Bu, CH2CH2SMe] were prepd. as substance P (SP) agonists and/or antagonists and as analgesics and/or antihypertensives. Thus, Z-Phe-OH (Z = PhCH2O2C) was coupled with H-Phe-OMe by ClCO2CH2CHMe2 to give 82% Z-Phe-Phe-OMe, which was Z-deblocked by hydrogenolysis to give 86% H-Phe-Phe-OMe, which was coupled with Boc-Pro-OH (Boc = Me3CO2C) by ClCO2CH2CHMe2 to give 63% Boc-Pro-Phe-Phe-OMe, which was treated with NH2NH2 to give 93% Boc-Pro-Phe-Phe-NHNH2 (I). Boc-Leu-OH was coupled with H-Met-NH2 by ClCO2CH2CHMe to give 77% Boc-Leu-Met-NH2, which was Boc-deblocked by HCl/HOAc to give 75% H-Leu-Met-NH2.HCl, which was coupled with Boc-D-Ala-OC6F5 to give 70% Boc-D-Ala-Leu-Met-NH2. The latter was Boc-deblocked to give 65% H-D-Ala-Leu-Met-NH2, which was coupled with I by the azide method to give 66% Boc-Pro-Phe-Phe-D-Ala-Leu-Met-NH2, which was Boc-deblocked to give 66% H-Pro-Phe-Phe-D-Ala-Leu-Met-NH2 (II). II inhibited 3H-SP binding in rat submaxillary gland by 17%.

IT **94788-25-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

RN 94788-25-7 CA

CN L-Methioninamide, 1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-3-(4-pyridinyl)-L-alanyl-L-phenylalanyl-D-phenylalanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **94788-25-7P 94788-26-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

IT **94777-87-4P 94777-88-5P 94778-61-7P**
94788-27-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and substance P agonistic and antagonistic activity of)

L4 ANSWER 26 OF 26 CA COPYRIGHT 2003 ACS
ACCESSION NUMBER: 80:92321 CA

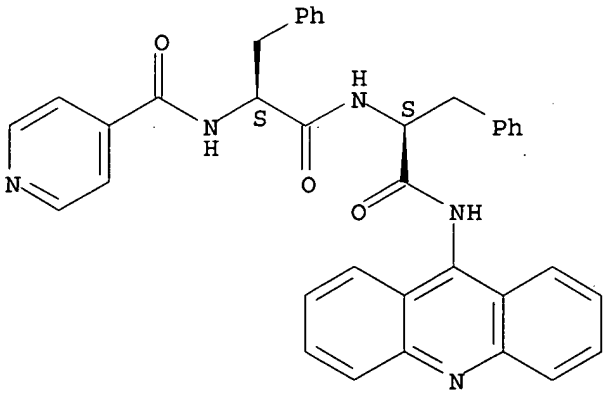
TITLE: Interaction of 9-peptidylaminoacridines with proteins and nucleic acids
AUTHOR(S): Sachdev. Goverdhan P.; Brownstein, Allen D.; Fruton, Joseph S.
CORPORATE SOURCE: Yale Univ., New Haven, CT, USA
SOURCE: Journal of Biological Chemistry (1974), 249(2), 420-7
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Several 9-peptidylaminoacridines were synthesized, their spectroscopic properties were examined, and studies were performed by means of absorption and fluorescence spectrophotometry on their interaction with proteins (especially pepsin) and with DNA prepns. At pH 5, pepsin binds 9-(Phe-Phe-amino)acridine(I) largely by virtue of the interaction of the Phe-Phe unit of the compd. with the extended active site of the enzyme, the acridine portion being drawn into a region of lower polarity than that of the aq. medium. The results obtained with pepsin are compared with those given by bovine serum albumin, .alpha.-chymotrypsin, and lysozyme. Although, at pH 5, I appears to be bound by DNA prepns. somewhat more tightly than is 9-acetylaminoacridine, the absorption and emission spectra of these acylaminoacridines are not altered by interaction with DNA to the extent seen with the 9-aminoacridinium cation under comparable exptl. conditions.

IT **51780-01-9**
RL: BIOL (Biological study)
(DNA and protein interaction with)

RN 51780-01-9 CA
CN L-Phenylalaninamide, N-(4-pyridinylcarbonyl)-L-phenylalanyl-N-9-acridinyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **51780-01-9**
RL: BIOL (Biological study)
(DNA and protein interaction with)

=> file uspatfull

=> s l3
L5 18 L3

=> d ibib abs fhitstr 1-18

L5 ANSWER 1 OF 18 USPATFULL

ACCESSION NUMBER:	2003:79332 USPATFULL
TITLE:	Compounds with growth hormone releasing properties
INVENTOR(S):	Hansen, Thomas Kruse, Herlev, DENMARK Peschke, Bernd, Maaloev, DENMARK Lau, Jesper, Farum, DENMARK Lundt, Behrend Friedrich, Kokkedal, DENMARK Ankersen, Michael, Frederiksberg, DENMARK Watson, Brett, Vaerloese, DENMARK Madsen, Kjeld, Vaerloese, DENMARK

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003055261	A1	20030320
APPLICATION INFO.:	US 2002-67895	A1	20020205 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-534135, filed on 23 Mar 2000, PENDING Division of Ser. No. US 1998-218686, filed on 21 Dec 1998, GRANTED, Pat. No. US 6127391 Division of Ser. No. US 1996-769020, filed on 18 Dec 1996, GRANTED, Pat. No. US 5977178

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1995-1462	19951222
	DK 1996-698	19960625
	DK 1996-812	19960724
	DK 1996-1248	19961106
	US 1996-22062P	19960722 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Reza Green, Esq., Novo Nordisk of North America, Inc., Suite 6400, 405 Lexington Avenue, New York, NY, 10174-6401
NUMBER OF CLAIMS:	23
EXEMPLARY CLAIM:	1
LINE COUNT:	8849

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of peptide mimetic nature having the general formula I formula I ##STR1##

wherein a and b are independently 1 or 2, R.sup.1 and R.sup.2 are independently H or C.sub.1-6alkyl, G and J are independently, inter alia, aromats, and D and E are independently several different groups are growth hormone secretagogous with improved bioavailability.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

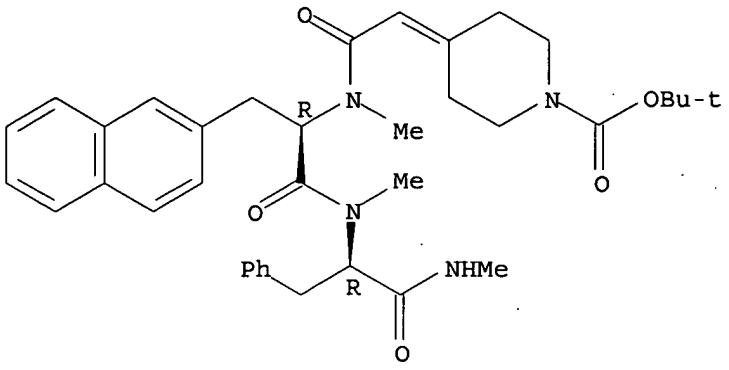
IT **193085-25-5P**

(prepn. of peptides with growth hormone releasing properties)

RN 193085-25-5 USPATFULL

CN D-Phenylalaninamide, N-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinylidene]acetyl]-N-methyl-3-(2-naphthalenyl)-D-alanyl-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 18 USPATFULL
ACCESSION NUMBER: 2003:57917 USPATFULL
TITLE: Compounds with growth hormone releasing properties
INVENTOR(S): Hansen, Thomas Kruse, Herlev, DENMARK
Peschke, Bernd, Maaloev, DENMARK
Lau, Jesper, Farum, DENMARK
Lundt, Behrend Friedrich, Kokkedal, DENMARK
Ankersen, Michael, Frederiksburg, DENMARK
Watson, Brett, Vaerloese, DENMARK
Madsen, Kjeld, Vaerloese, DENMARK

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003040483	A1	20030227
	US 6555570	B2	20030429
APPLICATION INFO.:	US 2000-534135	A1	20000323 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1998-218626, filed on 22 Dec 1998, PATENTED

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1997-358099	19971225
	JP 1998-7709	19980119
	US 1996-22062P	19960722 (60)

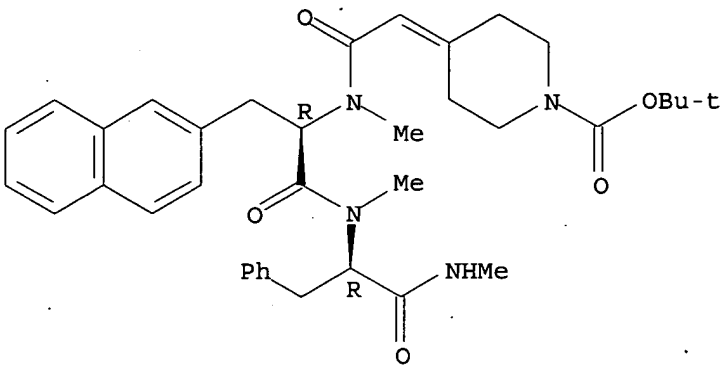
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Steve T Zelson Esq, Novo Nordisk of North America Inc, 405 Lexington Ave, Suite 6400, New York, NY, 10174-6401
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 9116
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of peptide mimetic nature having the general formula I ##STR1##

wherein a and b are independently 1 or 2, R.sup.1 and R.sup.2 are independently H or C.sub.1-6alkyl, G and J are independently, inter alia, aromats, and D and E are independently several different groups are growth hormone secretagogous with improved bioavailability.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **193085-25-5P**
(prepn. of peptides with growth hormone releasing properties)

RN 193085-25-5 USPATFULL
CN D-Phenylalaninamide, N-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinylidene]acetyl]-N-methyl-3-(2-naphthalenyl)-D-alanyl-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 18 USPATFULL

ACCESSION NUMBER:	2002:288092 USPATFULL		
TITLE:	Compositions for the treatment of the catabolic state of prolonged critical illness		
INVENTOR(S):	Ankersen, Michael, Stenlose, DENMARK		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002160961	A1	20021031
APPLICATION INFO.:	US 2001-4648	A1	20011204 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 2000-DK295, filed on 31 May 2000, UNKNOWN		
	NUMBER	DATE	
PRIORITY INFORMATION:	DK 1999-788	19990604	
	DK 1999-1082	19990802	
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Reza Green, Esq., Novo Nordisk of North America, Inc., Suite 6400, 405 Lexington Avenue, New York, NY, 10174-6401		
NUMBER OF CLAIMS:	17		
EXEMPLARY CLAIM:	1		
LINE COUNT:	915		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

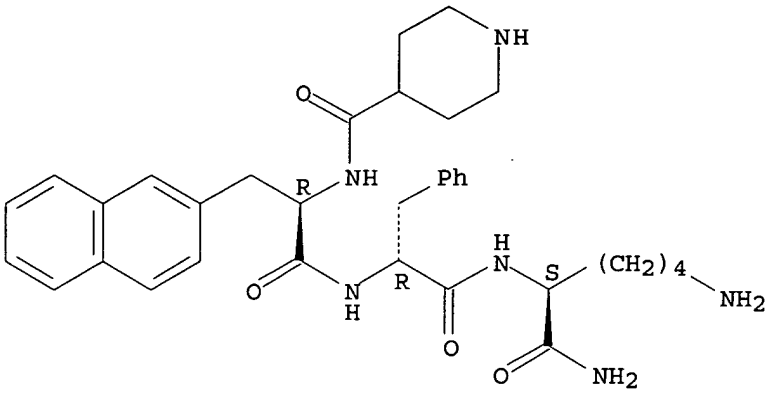
AB Compositions comprising TRH and a compound of the general formula A--B--C--D(--E).sub.p are used for treating the catabolic state of prolonged critical illness.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **170851-76-0**
(compns. for treatment of catabolic state of prolonged crit. illness contg. TRH and another amino acid based compd.)

RN 170851-76-0 USPATFULL
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 18 USPATFULL

ACCESSION NUMBER:	2002:276061 USPATFULL
TITLE:	Compounds having growth hormone releasing activity
INVENTOR(S):	Bowers, Cyril Y., New Orleans, LA, United States Momany, Frank, Peoria, IL, United States Liang, Yongwu, Sprin, TX, United States
PATENT ASSIGNEE(S):	The Administrators of the Tulane Educational Fund, New Orleans, LA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6468974	B1	20021022	
APPLICATION INFO.:	US 1999-370111		19990806	(9)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1998-96795P	19980814	(60)
	US 1999-129806P	19990416	(60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Low, Christopher S. F.
ASSISTANT EXAMINER:	Lukton, David
LEGAL REPRESENTATIVE:	Nixon & Peabody LLP
NUMBER OF CLAIMS:	21
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT:	1737

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

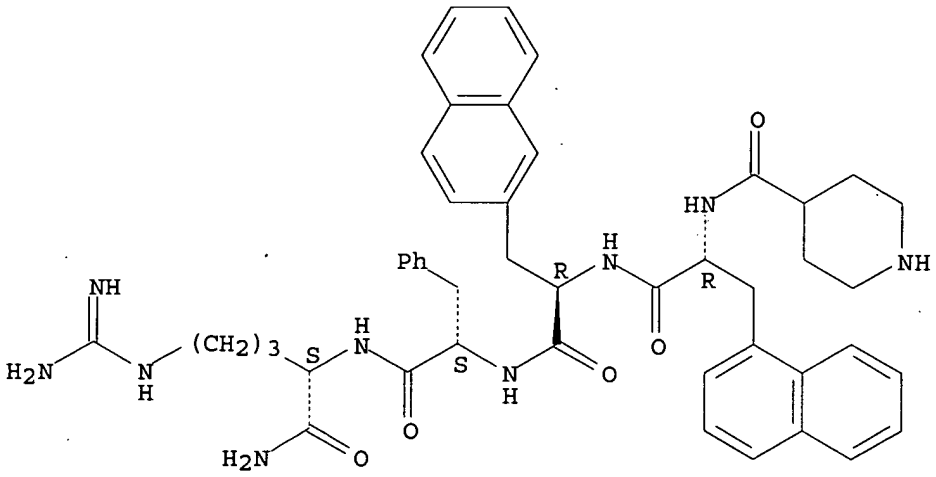
AB Compounds that promote growth hormone releasing activity are disclosed. These compounds have the formula:

A.sub.1-A.sub.2-X; Al.sub.1'-X'; or A.sub.1"-Y

These compounds can be present in a pharmaceutical composition. The compounds can be used with a second compound that acts as an agonist at the growth hormone releasing hormone receptor or which inhibits the effects of somatostatin. These compounds can be used for a variety of uses such as treating hypothalamic pituitary dwarfism, osteoporosis, burns, or promoting wound healing.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **259230-87-0P**
(prepn. of peptides having growth hormone releasing activity)
RN 259230-87-0 USPATFULL
CN L-Argininamide, 3-(1-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 5 OF 18 USPATFULL
ACCESSION NUMBER: 2002:273373 USPATFULL
TITLE: Compounds having growth hormone releasing activity
INVENTOR(S): Bowers, Cyril Y., New Orleans, LA, UNITED STATES
Momany, Frank, Peoria, IL, UNITED STATES
Liang, Yongwu, Sprin, TX, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002151501	A1	20021017
APPLICATION INFO.:	US 2002-112316	A1	20020329 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-370111, filed on 6 Aug 1999, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-96795P	19980814 (60)
	US 1999-129806P	19990416 (60)

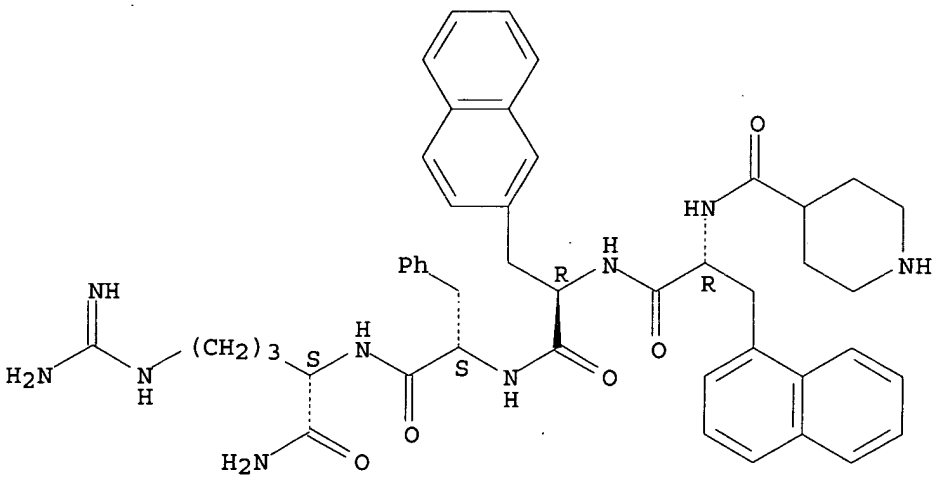
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: NIXON PEABODY LLP, ATTENTION: DAVID RESNICK, 101 FEDERAL STREET, BOSTON, MA, 02110
NUMBER OF CLAIMS: 41
EXEMPLARY CLAIM: 1
LINE COUNT: 2067
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds that promote growth hormone releasing activity are disclosed. These compounds have the formula:

A.sub.1-A.sub.2-X; A.sub.1-X'; or A.sub.1.-Y

These compounds can be present in a pharmaceutical composition. The compounds can be used with a second compound that acts as an agonist at the growth hormone releasing hormone receptor or which inhibits the effects of somatostatin. These compounds can be used for a variety of uses such as treating hypothalamic pituitary dwarfism, osteoporosis, burns, or promoting wound healing.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **259230-87-0P**
(prepn. of peptides having growth hormone releasing activity)
RN 259230-87-0 USPATFULL
CN L-Argininamide, 3-(1-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 18 USPATFULL
ACCESSION NUMBER: 2002:206760 USPATFULL
TITLE: LOW MOLECULAR WEIGHT PEPTIDOMIMETIC GROWTH HORMONE SECRETAGOGUES
INVENTOR(S): SOMERS, TODD C., FOSTER CITY, CA, UNITED STATES
ELIAS, KATHLEEN A., SAN FRANCISCO, CA, UNITED STATES
CLARK, ROSS G., PACIFICA, CA, UNITED STATES
MCDOWELL, ROBERT S., SAN FRANCISCO, CA, UNITED STATES
STANLEY, MARK S., PACIFICA, CA, UNITED STATES
BURNIER, JOHN P., PACIFICA, CA, UNITED STATES
RAWSON, THOMAS E., MOUNTAIN VIEW, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002111461	A1	20020815
APPLICATION INFO.:	US 1999-316505	A1	19990521 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Genentech Inc, Attn: Timothy R Schwartz Ph D, 1 DNA Way, South San Francisco, CA, 94080-4990
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 23 Drawing Page(s)
LINE COUNT: 4883

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention comprises growth hormone releasing peptides/peptidomimetics (GHRP) capable of causing release of growth hormone from the pituitary. Compositions containing the GHRP's of this invention are used to promote growth in mammals either alone or in combination with other growth promoting compounds, especially IGF-1. In a method of this invention GHRP's in combination with IGF-1 are used to treat Type II diabetes. An exemplary compound of this invention is provided below. ##STR1##

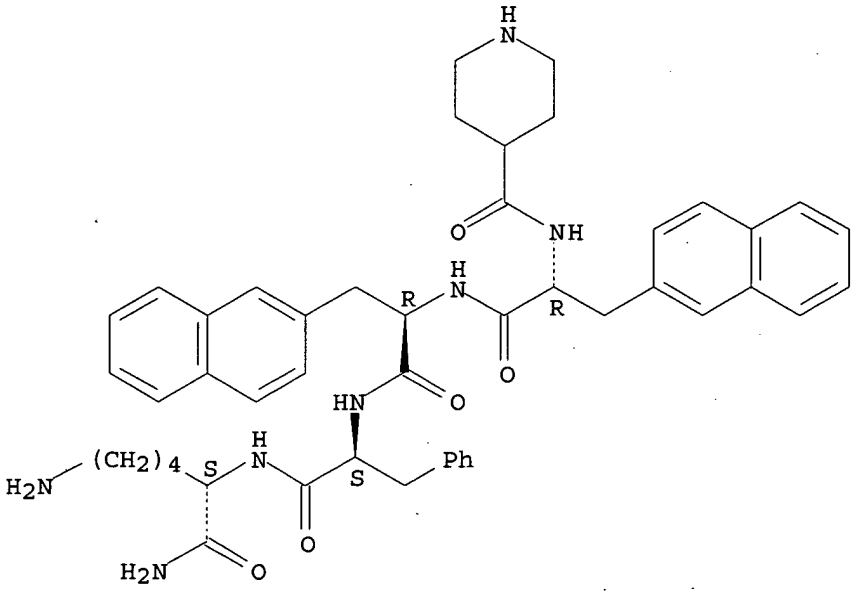
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **171369-45-2P**
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)

RN 171369-45-2 USPATFULL

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 18 USPATFULL

ACCESSION NUMBER: 2002:39941 USPATFULL

TITLE: Compounds with growth hormone releasing properties

INVENTOR(S): Lau, Jesper, Farum, DENMARK
Peschke, Bernd, M.ang.l.o slashed.v, DENMARK
Hansen, Thomas Kruse, Herlev, DENMARK
Johansen, Nils Langeland, Copenhagen, DENMARK
Ankersen, Michael, Frederiksberg, DENMARK

PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, DENMARK (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6350767	B1	20020226
APPLICATION INFO.:	US 1999-443993		19991119 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1997-897239, filed on 17 Jul

1997, now patented, Pat. No. US 6013658 Continuation of Ser. No. WO 1996-DK45, filed on 26 Jan 1996

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1995-99	19950127
	DK 1995-100	19950127
	DK 1995-1083	19950928
	DK 1995-1084	19950928
	DK 1995-1372	19951204

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Higel, Floyd D.
LEGAL REPRESENTATIVE: Green, Esq., Reza
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 3934
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds, compositions containing them, and their use for treating medical disorders resulting from a deficiency in growth hormone.

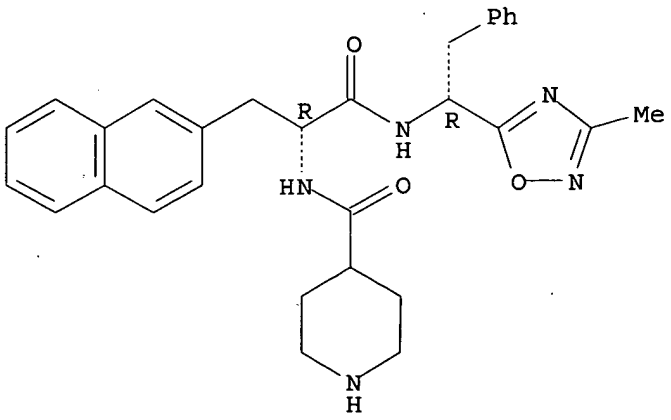
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **181645-61-4P**
(prepn. of peptide analogs with growth hormone releasing properties)

RN 181645-61-4 USPATFULL

CN 4-Piperidinecarboxamide, N-[2-[[1-(3-methyl-1,2,4-oxadiazol-5-yl)-2-phenylethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L5 ANSWER 8 OF 18 USPATFULL

ACCESSION NUMBER: 2000:131863 USPATFULL
TITLE: Compounds with growth hormone releasing properties
INVENTOR(S): Hansen, Thomas Kruse, Herlev, Denmark
Peschke, Bernd, Maaloev, Denmark

Lau, Jesper, Farum, Denmark
Lundt, Behrend Friedrich, Kokkedal, Denmark
Ankersen, Michael, Frederiksberg, Denmark
Watson, Brett, Vaerloese, Denmark
Madsen, Kjeld, Vaerloese, Denmark
PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6127391		20001003
APPLICATION INFO.:	US 1998-218686		19981221 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1996-769020, filed on 18 Dec 1996

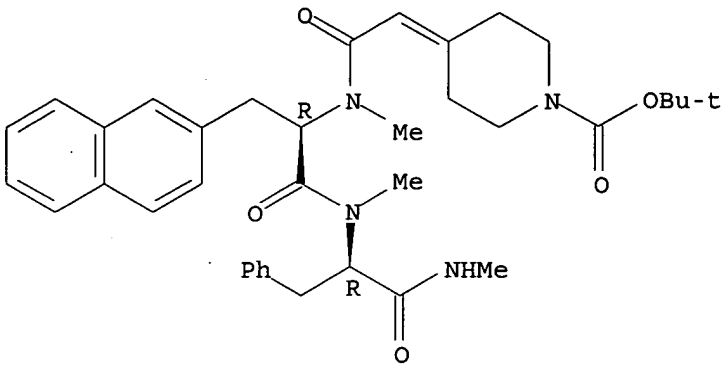
	NUMBER	DATE
PRIORITY INFORMATION:	DK 1995-1462	19951222
	DK 1996-698	19960625
	DK 1996-812	19960724
	DK 1996-1248	19961106
	US 1996-22062P	19960722 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kight, John
ASSISTANT EXAMINER: Aulakh, Charanjit S.
LEGAL REPRESENTATIVE: Zelson, Steve T., Rozek, Carol E.
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 8344
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of peptide mimetic nature having the general formula I ##STR1## wherein a and b are independently 1 or 2, R.sup.1 and R.sup.2 are independently H or C.sub.1-6 alkyl, G and J are independently, inter alia, aromats, and D and E are independently several different groups are growth hormone secretagogous with improved bioavailability.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **193085-25-5P**
(prepn. of peptides with growth hormone releasing properties)
RN 193085-25-5 USPATFULL
CN D-Phenylalaninamide, N-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinylidene]acetyl]-N-methyl-3-(2-naphthalenyl)-D-alanyl-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



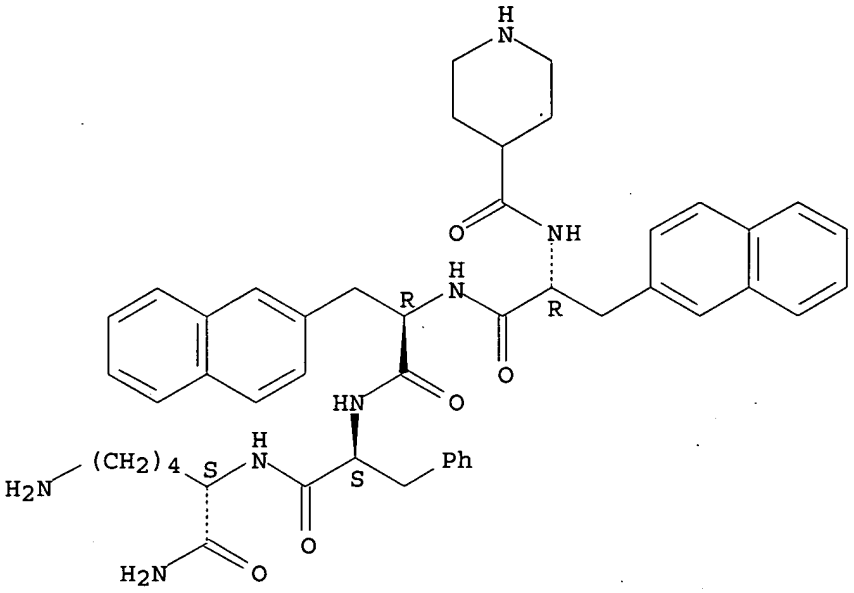
L5 ANSWER 9 OF 18 USPATFULL
ACCESSION NUMBER: 2000:128296 USPATFULL
TITLE: Treatment of tumors by administration of growth hormone releasing compounds and their antagonists
INVENTOR(S): Muccioli, Gianpiero, Rivalba, Italy
Papotti, Mauro, Turin, Italy
Ghigo, Ezio, Turin, Italy
Deghenghi, Romano, Le-Vesinet, France
PATENT ASSIGNEE(S): Asta Medica AG, Radebeul, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6124263		20000926
APPLICATION INFO.:	US 1998-192406		19981116 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Peselev, Elli
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 542
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method for treating a tumor in a mammal by administering a growth hormone releasing compound or an antagonist thereof in an amount effective to reduce or inhibit proliferation of tumorigenic cells in the mammal. In particular, the tumors to be treated include lung, mammary, thyroid or pancreas tumors. The preferred compounds are certain peptides that contain methyl tryptophan and lysine units.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **171369-45-2**
(treatment of tumors by administration of growth hormone releasing compds. and antagonists)
RN 171369-45-2 USPATFULL
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 10 OF 18 USPATFULL

ACCESSION NUMBER:	2000:28111 USPATFULL
TITLE:	Low molecular weight peptidomimetic growth hormone secretagogues
INVENTOR(S):	Somers, Todd C., Foster City, CA, United States Elias, Kathleen A., San Francisco, CA, United States Clark, Ross G., Pacifica, CA, United States McDowell, Robert S., San Francisco, CA, United States Stanley, Mark S., Pacifica, CA, United States Burnier, John P., Pacifica, CA, United States Rawson, Thomas E., Mountain View, CA, United States
PATENT ASSIGNEE(S):	Genentech Inc., South San Franciso, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6034216		20000307
APPLICATION INFO.:	US 1998-57074		19980408 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-340767, filed on 16 Nov 1994, now patented, Pat. No. US 5798337		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Lukton, David		
LEGAL REPRESENTATIVE:	Winter, Daryl B., Schwartz, Timothy R.		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	30 Drawing Figure(s); 23 Drawing Page(s)		
LINE COUNT:	4317		

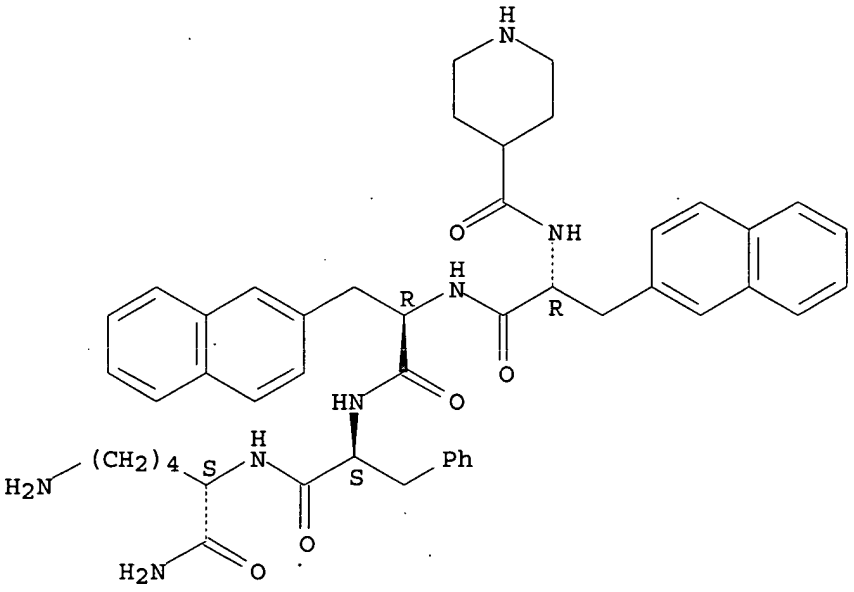
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention comprises growth hormone releasing peptides/peptidomimetics (GHRP) capable of causing release of growth hormone from the pituitary. Compositions containing the GHRP's of this invention are used to promote growth in mammals either alone or in combination with other growth promoting compounds, especially IGF-1. In

a method of this invention GHRP's in combination with IGF-1 are used to treat Type II diabetes. An exemplary compound of this invention is provided below. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **171369-45-2P**
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)
RN 171369-45-2 USPATFULL
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 11 OF 18 USPATFULL

ACCESSION NUMBER:	2000:4820 USPATFULL
TITLE:	Compounds with growth hormone releasing properties
INVENTOR(S):	Lau, Jesper, Farum, Denmark Peschke, Bernd, M.ang.l.o slashed.v, Denmark Hansen, Thomas Kruse, Herlev, Denmark Johansen, Nils Langeland, Copenhagen, Denmark Ankersen, Michael, Frederiksberg, Denmark
PATENT ASSIGNEE(S):	Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6013658		20000111
APPLICATION INFO.:	US 1997-897239		19970717 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 1996-DK45, filed on 26 Jan 1996		

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1995-99	19950127
	DK 1995-100	19950127

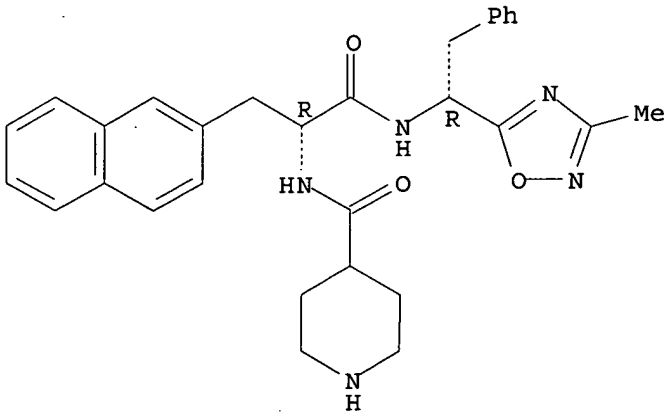
	DK 1995-1083	19950928
	DK 1995-1084	19950928
	DK 1995-1372	19951204

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Chang, Ceila
LEGAL REPRESENTATIVE: Zelson, Steve T., Rozek, Carol E
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
LINE COUNT: 3638
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are disclosed novel synthetic peptides of formula (I) ##STR1## where A, B, D, E, F, G, J, m, n, and p are defined in the specification. Compounds of formula (I) promote the release of growth hormone in humans and animals. Growth promoting compositions containing such compounds of formula (I) as the active ingredient, methods of stimulating the release of growth hormone, and the use of such compounds of formula (I) are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **181645-61-4P**
(prepn. of peptide analogs with growth hormone releasing properties)
RN 181645-61-4 USPATFULL
CN 4-Piperidinecarboxamide, N-[2-[[1-(3-methyl-1,2,4-oxadiazol-5-yl)-2-phenylethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L5 ANSWER 12 OF 18 USPATFULL
ACCESSION NUMBER: 1999:137327 USPATFULL
TITLE: Compounds with growth hormone releasing properties
INVENTOR(S): Hansen, Thomas Kruse, Herlev, Denmark
Peschke, Bernd, Maaloev, Denmark
Lau, Jesper, Farum, Denmark
Lundt, Behrend Friedrich, Kokkedal, Denmark
Ankersen, Michael, Frederiksberg, Denmark
Watson, Brett, Vaerloese, Denmark

	Madsen, Kjeld, Vaerloese, Denmark
PATENT ASSIGNEE(S):	Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5977178		19991102
APPLICATION INFO.:	US 1996-769020		19961218 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-22062P	19960722 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Rotman, Alan L.
ASSISTANT EXAMINER:	Aulakh, Charanjit S.
LEGAL REPRESENTATIVE:	Zelson, Steve T., Lambiris, Elias J., Rozek, Carol E.
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1
LINE COUNT:	7142

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of peptide mimetic nature having the general formula I ##STR1## wherein a and b are independently 1 or 2, R.sup.1 and R.sup.2 are independently H or C.sub.1-6 alkyl, G and J are independently, inter alia, aromats, and D and E are independently several different groups are growth hormone secretagogous with improved bioavailability.

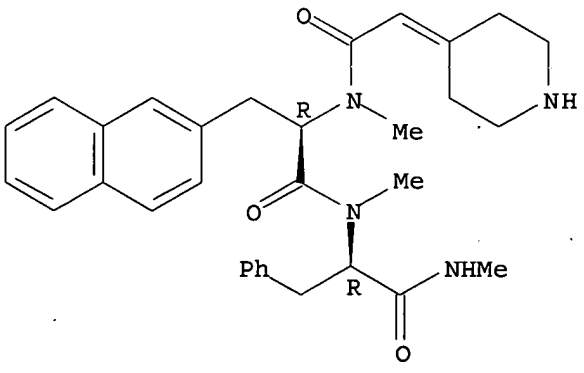
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **193079-12-8P**
(prepn. of peptide mimetics for stimulating growth hormone releasing and increasing rate and extent of growth, milk and wool prodn., or for treatment of ailments resulting from deficiency in growth hormone)

RN 193079-12-8 USPATFULL

CN D-Phenylalaninamide, N-methyl-3-(2-naphthalenyl)-N-(4-piperidinylideneacetyl)-D-alanyl-N,N.alpha.-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 13 OF 18 USPATFULL

ACCESSION NUMBER:	1999:89124 USPATFULL
TITLE:	Lysine containing peptides for treatment of heart disease
INVENTOR(S):	Deghenghi, Romano, Chesaux-Dessus, St. Cergue,

Switzerland 1264

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5932548		19990803
APPLICATION INFO.:	US 1998-89955		19980603 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Russel, Jeffrey E.
LEGAL REPRESENTATIVE: Pennie & Edmonds LLP
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 450
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates a number of different lysine containing peptides which can be administered to a mammal to normalize cardiac pressure for treatment of heart disease conditions such as myocardial ischemia. These peptides include certain known peptides, some of which are capable of liberating growth hormone to various degrees when administered to a mammal. Other peptides useful in the invention are novel peptide sequences which include a spirolactam, bicyclic or tricyclic peptidomimetic unit. The peptides disclosed herein exhibit binding to cardiac tissue and normalize cardiac pressure after administration, thus imparting cardiac protecting activity by a mechanism which at the present is unknown. One common feature of the peptides of this invention is that at least one lysine unit is present.

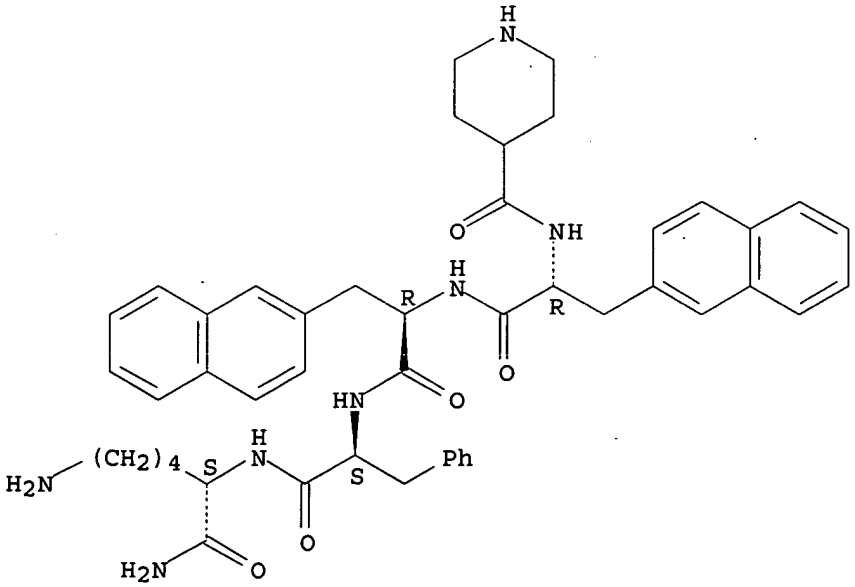
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **171369-45-2**
(lysine-contg. peptides for treatment of heart disease)

RN 171369-45-2 USPATFULL

CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



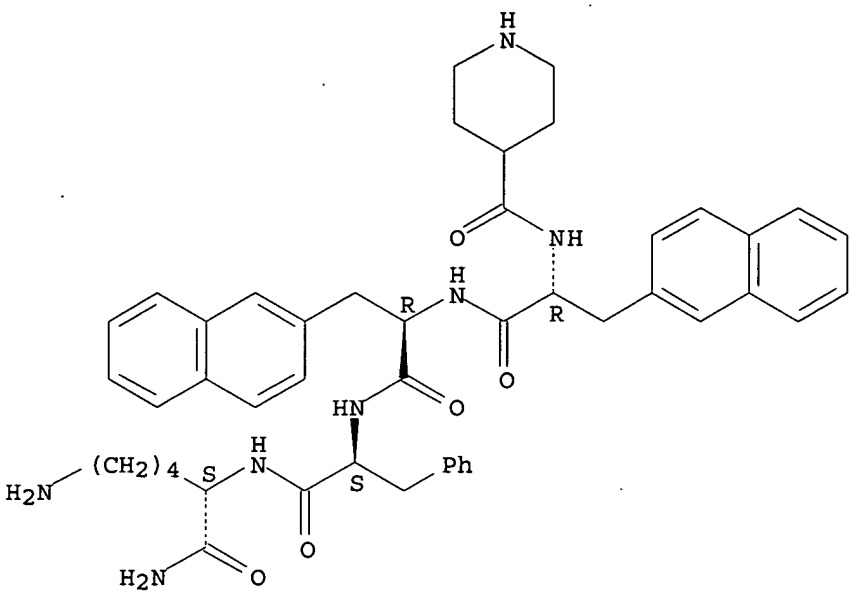
L5 ANSWER 14 OF 18 USPATFULL
ACCESSION NUMBER: 1998:101628 USPATFULL
TITLE: Low molecular weight peptidomimetic growth hormone secretagogues
INVENTOR(S): Somers, Todd C., Foster City, CA, United States
Elias, Kathleen A., San Francisco, CA, United States
Clark, Ross G., Pacifica, CA, United States
McDowell, Robert S., San Francisco, CA, United States
Stanley, Mark S., Pacifica, CA, United States
Burnier, John P., Pacifica, CA, United States
Rawson, Thomas E., Mountain View, CA, United States
PATENT ASSIGNEE(S): Genentech, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5798337		19980825	
APPLICATION INFO.:	US 1994-340767		19941116	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Trang, Cecilia J.
ASSISTANT EXAMINER: Lukton, David
LEGAL REPRESENTATIVE: Winter, Daryl B., Schwartz, Timothy R.
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 30 Drawing Figure(s); 23 Drawing Page(s)
LINE COUNT: 4319
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention comprises growth hormone releasing peptides/peptidomimetics (GHRP) capable of causing release of growth hormone from the pituitary. Compositions containing the GHRP's of this invention are used to promote growth in mammals either alone or in combination with other growth promoting compounds, especially IGF-1. In a method of this invention GHRP's in combination with IGF-1 are used to treat Type II diabetes. An exemplary compound of this invention is provided below. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **171369-45-2P**
(prepn. of low mol. wt. peptide mimics as growth hormone release stimulators)
RN 171369-45-2 USPATFULL
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 15 OF 18 USPATFULL

ACCESSION NUMBER:	1998:69005 USPATFULL
TITLE:	Compounds with growth hormone releasing properties
INVENTOR(S):	Johansen, Nils Langeland, Copenhagen .O slashed., Denmark Lau, Jesper, Farum, Denmark Madsen, Kjeld, V.ae butted.rl.o slashed.se, Denmark Lundt, Behrend Friedrich, Kokkedal, Denmark Th.o slashed.gersen, Henning, Farum, Denmark Hansen, Birgit Sehested, Stenl.o slashed.se, Denmark Peschke, Bernd, M.ang.l.o slashed.v, Denmark
PATENT ASSIGNEE(S):	Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5767085		19980616	
	WO 9517423		19950629	
APPLICATION INFO.:	US 1995-448623		19950606	(8)
	WO 1994-DK485		19941222	
			19950606	PCT 371 date
			19950606	PCT 102(e) date

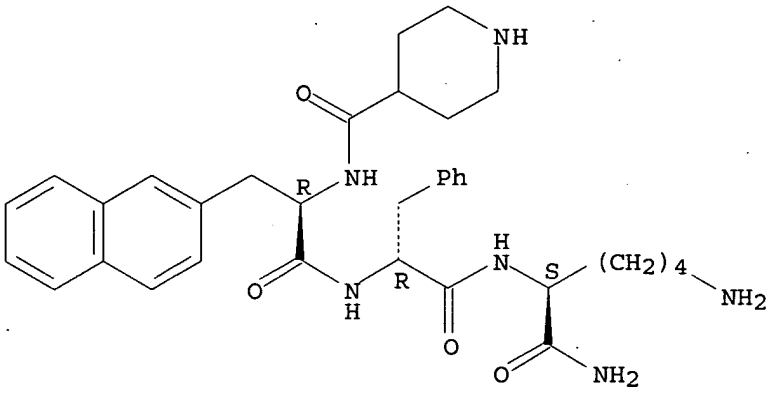
	NUMBER	DATE
PRIORITY INFORMATION:	DK 1993-1439	19931223
	DK 1994-121	19940128
	DK 1994-1191	19941014

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Russel, Jeffrey E.
LEGAL REPRESENTATIVE:	Zelson, Steve T., Lambiris, Elias J.
NUMBER OF CLAIMS:	18
EXEMPLARY CLAIM:	1
LINE COUNT:	1464

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of the formula A--B--C--D(--E).sub.p are used to stimulate the release of growth hormone from the pituitary.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **170851-76-0P**
(prepn. of peptides with growth hormone releasing properties)
RN 170851-76-0 USPATFULL
CN L-Lysinamide, 3-(2-naphthalenyl)-N-(4-piperidinylcarbonyl)-D-alanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 16 OF 18 USPATFULL
ACCESSION NUMBER: 96:24922 USPATFULL
TITLE: N-terminus modified analogs of LHRH
INVENTOR(S): Haviv, Fortuna, Deerfield, IL, United States
Fitzpatrick, Timothy D., Boulder, CO, United States
Swenson, Rolf E., Grayslake, IL, United States
Nichols, Charles J., Greendale, WI, United States
Mort, Nicholas A., Waukegan, IL, United States
PATENT ASSIGNEE(S): Tap Holdings Inc., Abbott Park, IL, United States (U.S. corporation)

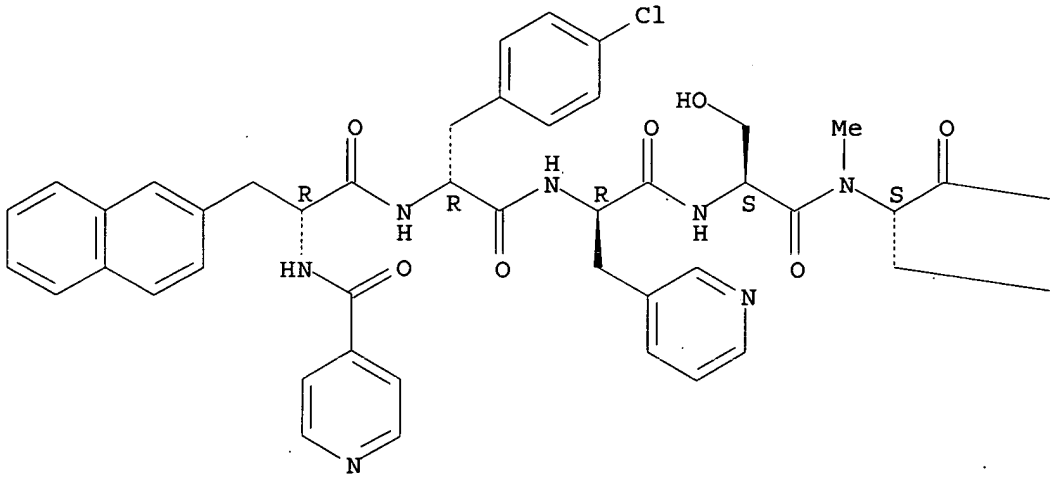
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5502035		19960326
APPLICATION INFO.:	US 1994-279677		19940727 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1993-103474, filed on 6 Aug 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Schain, Howard E.
LEGAL REPRESENTATIVE: Janssen, Jerry F.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 2936
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Decapaptide and undecapaptides substituted on the N-terminal nitrogen atom by acyl groups which include furo-2-yl, isonicotinyl, nicotinyl, 2-, 3-, and 4-quinolinecarbonyl, shikimyl, dihydroshikimyl, and tetrahydrofur-2-oyl are potent antagonists of LHRH and are useful for suppressing the levels of sex hormones in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **168193-57-5P**
(N-terminus modified peptide analogs of LHRH as LHRH antagonists)
RN 168193-57-5 USPATFULL
CN D-Alaninamide, 3-(2-naphthalenyl)-N-(4-pyridinylcarbonyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N5-(aminocarbonyl)-D-ornithyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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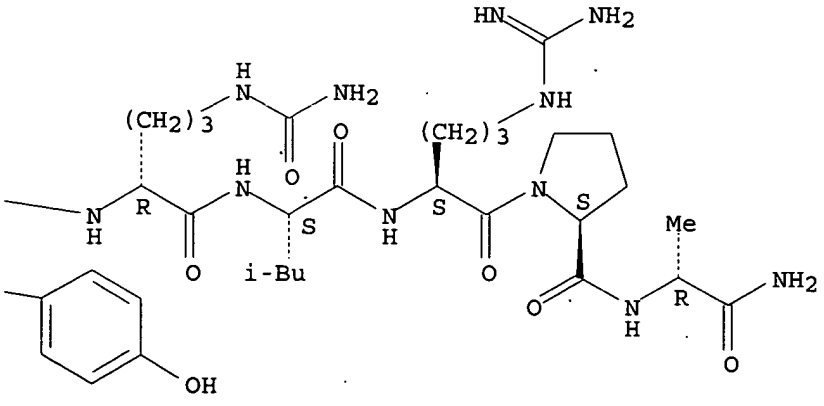


L5 ANSWER 17 OF 18 USPATFULL
ACCESSION NUMBER: 95:40928 USPATFULL
TITLE: N-terminus modified analogs of LHRH
INVENTOR(S): Haviv, Fortuna, Deerfield, IL, United States
Fitzpatrick, Timothy D., Boulder, CO, United States

Swenson, Rolf E., Grayslake, IL, United States
Nichols, Charles J., Greendale, WI, United States
Mort, Nicholas A., Waukegan, IL, United States
PATENT ASSIGNEE(S): Tap Pharmaceuticals Inc., Deerfield, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5413990		19950509	
APPLICATION INFO.:	US 1993-103022		19930806	(8)

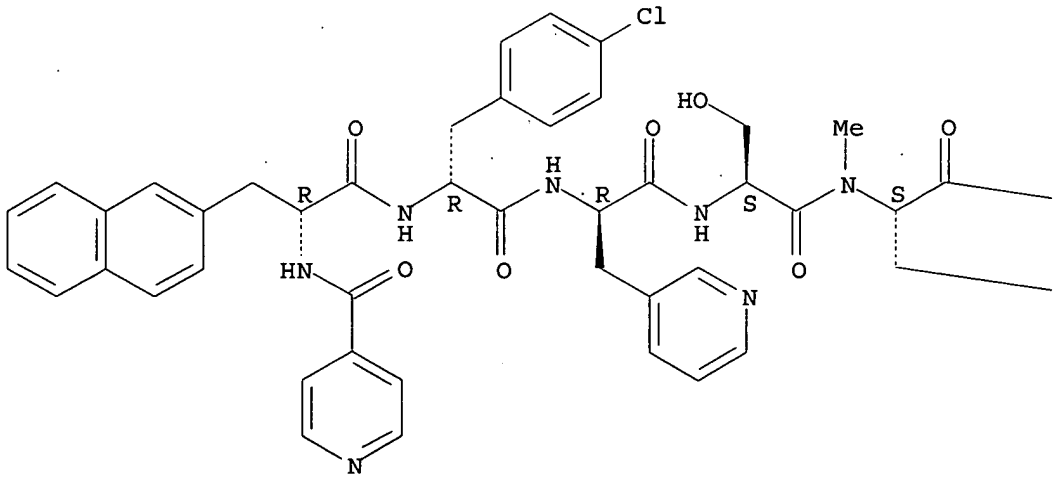
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Warden, Jill A.
ASSISTANT EXAMINER: Huff, Sheela J.
LEGAL REPRESENTATIVE: Janssen, Jerry F.
NUMBER OF CLAIMS: 1
EXEMPLARY CLAIM: 1
LINE COUNT: 1042
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Decapaptides substituted on the N-terminal nitrogen atom by acyl groups are potent antagonists of LHRH and are useful for suppressing the levels of sex hormones in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **168193-48-4P**
(prepn. of N-terminus acylated analogs of LHRH as LHRH antagonists)
RN 168193-48-4 USPATFULL
CN D-Alaninamide, 3-(2-naphthalenyl)-N-(4-pyridinylcarbonyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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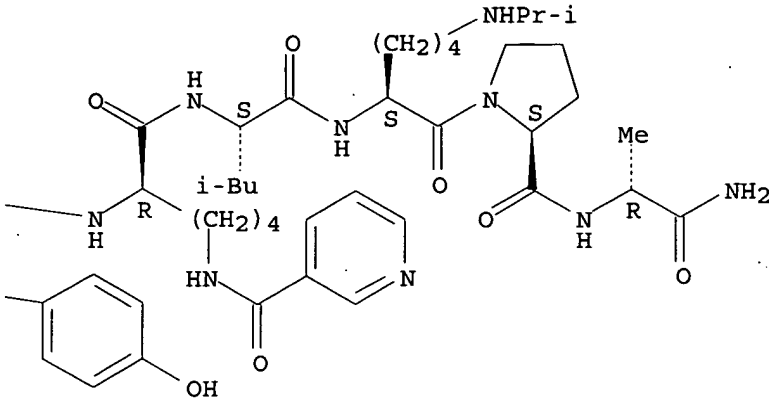


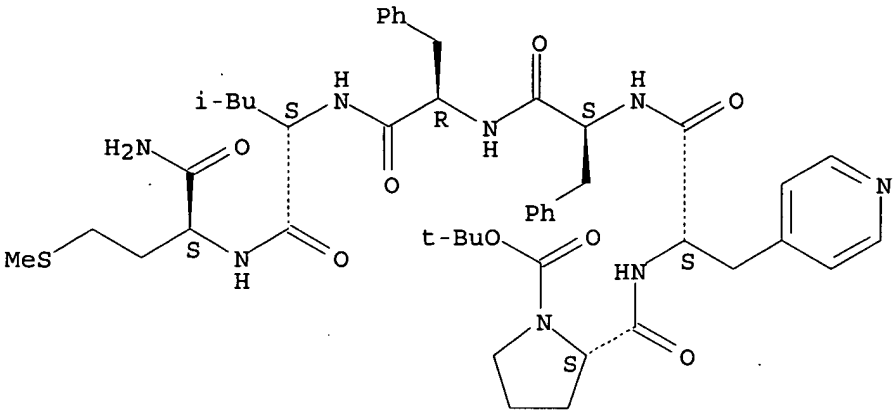
L5 ANSWER 18 OF 18 USPATFULL
ACCESSION NUMBER: 84:52634 USPATFULL
TITLE: Hexapeptide amides
INVENTOR(S): Hansen, Philip E., Schodack, NY, United States
Morgan, Barry A., Colonie, NY, United States
PATENT ASSIGNEE(S): Sterling Drug Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4472305		19840918
APPLICATION INFO.:	US 1983-495383		19830517 (6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Phillips, Delbert R.
LEGAL REPRESENTATIVE: Miller, Theodore C., Dupont, Paul E., Wyatt, B. Woodrow
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 1255
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB N-Terminal L-prolyl or D-prolyl hexapeptide amides useful as Substance P agonists and/or antagonists and as analgesics and/or antihypertensives and a process for preparing them are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **94788-25-7P**
(prepn. and deblocking of)
RN 94788-25-7 USPATFULL
CN L-Methioninamide, 1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-3-(4-pyridinyl)-L-alanyl-L-phenylalanyl-D-phenylalanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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